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| 542 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 2-(methylaminosulfonyl)phenyl |
| 543 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 544 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 2-(methylsulfonyl)phenyl |
| 545 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 4-morpholino |
| 546 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 547 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 4-morpholinocarbonyl |
| 548 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 549 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 550 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 551 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 552 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 2-(methylaminosulfonyl)phenyl |
| 553 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |
| 554 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 2-(methylsulfonyl)phenyl |
| 555 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 4-morpholino |
| 556 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 557 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 558 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 559 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 560 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 561 | Cl | phenyl | 2-(aminosulfonyl)phenyl |
| 562 | Cl | phenyl | 2-(methylaminosulfonyl)phenyl |
| 563 | Cl | phenyl | 1-pyrrolidinocarbonyl |
| 564 | Cl | phenyl | 2-(methylsulfonyl)phenyl |
| 565 | Cl | phenyl | 4-morpholino |
| 566 | Cl | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 567 | Cl | phenyl | 4-morpholinocarbonyl |
| 568 | Cl | phenyl | 2-methyl-1-imidazolyl |
| 569 | Cl | phenyl | 5-methyl-1-imidazolyl |
| 570 | Cl | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 571 | Cl | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 572 | Cl | 2-pyridyl | 2-(methylaminosulfonyl)phenyl |

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|-----|----|-------------|---|
| 573 | Cl | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 574 | Cl | 2-pyridyl | 2-(methylsulfonyl)phenyl |
| 575 | Cl | 2-pyridyl | 4-morpholino |
| 576 | Cl | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 577 | Cl | 2-pyridyl | 4-morpholinocarbonyl |
| 578 | Cl | 2-pyridyl | 2-methyl-1-imidazolyl |
| 579 | Cl | 2-pyridyl | 5-methyl-1-imidazolyl |
| 580 | Cl | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 581 | Cl | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 582 | Cl | 3-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 583 | Cl | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 584 | Cl | 3-pyridyl | 2-(methylsulfonyl)phenyl |
| 585 | Cl | 3-pyridyl | 4-morpholino |
| 586 | Cl | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 587 | Cl | 3-pyridyl | 4-morpholinocarbonyl |
| 588 | Cl | 3-pyridyl | 2-methyl-1-imidazolyl |
| 589 | Cl | 3-pyridyl | 5-methyl-1-imidazolyl |
| 590 | Cl | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 591 | Cl | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 592 | Cl | 2-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 593 | Cl | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 594 | Cl | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 595 | Cl | 2-pyrimidyl | 4-morpholino |
| 596 | Cl | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 597 | Cl | 2-pyrimidyl | 4-morpholinocarbonyl |
| 598 | Cl | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 599 | Cl | 2-pyrimidyl | 5-methyl-1-imidazolyl |
| 600 | Cl | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 601 | Cl | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 602 | Cl | 5-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 603 | Cl | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 604 | Cl | 5-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 605 | Cl | 5-pyrimidyl | 4-morpholino |
| 606 | Cl | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 607 | Cl | 5-pyrimidyl | 4-morpholinocarbonyl |
| 608 | Cl | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 609 | Cl | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 610 | Cl | 5-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 611 | Cl | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 612 | Cl | 2-Cl-phenyl | 2-(methylaminosulfonyl)phenyl |
| 613 | Cl | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 614 | Cl | 2-Cl-phenyl | 2-(methylsulfonyl)phenyl |
| 615 | Cl | 2-Cl-phenyl | 4-morpholino |
| 616 | Cl | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 617 | Cl | 2-Cl-phenyl | 4-morpholinocarbonyl |
| 618 | Cl | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 619 | Cl | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 620 | Cl | 2-Cl-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 621 | Cl | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 622 | Cl | 2-F-phenyl | 2-(methylaminosulfonyl)phenyl |
| 623 | Cl | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 624 | Cl | 2-F-phenyl | 2-(methylsulfonyl)phenyl |
| 625 | Cl | 2-F-phenyl | 4-morpholino |
| 626 | Cl | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 627 | Cl | 2-F-phenyl | 4-morpholinocarbonyl |

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|-----|----|----------------|---|
| 628 | Cl | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 629 | Cl | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 630 | Cl | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 631 | Cl | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 632 | Cl | 2,6-diF-phenyl | 2-(methylaminosulfonyl)phenyl |
| 633 | Cl | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |
| 634 | Cl | 2,6-diF-phenyl | 2-(methylsulfonyl)phenyl |
| 635 | Cl | 2,6-diF-phenyl | 4-morpholino |
| 636 | Cl | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 637 | Cl | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 638 | Cl | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 639 | Cl | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 640 | Cl | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 641 | F | phenyl | 2-(aminosulfonyl)phenyl |
| 642 | F | phenyl | 2-(methylaminosulfonyl)phenyl |
| 643 | F | phenyl | 1-pyrrolidinocarbonyl |
| 644 | F | phenyl | 2-(methylsulfonyl)phenyl |
| 645 | F | phenyl | 4-morpholino |
| 646 | F | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 647 | F | phenyl | 4-morpholinocarbonyl |
| 648 | F | phenyl | 2-methyl-1-imidazolyl |
| 649 | F | phenyl | 5-methyl-1-imidazolyl |
| 650 | F | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 651 | F | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 652 | F | 2-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 653 | F | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 654 | F | 2-pyridyl | 2-(methylsulfonyl)phenyl |
| 655 | F | 2-pyridyl | 4-morpholino |
| 656 | F | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 657 | F | 2-pyridyl | 4-morpholinocarbonyl |
| 658 | F | 2-pyridyl | 2-methyl-1-imidazolyl |
| 659 | F | 2-pyridyl | 5-methyl-1-imidazolyl |
| 660 | F | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 661 | F | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 662 | F | 3-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 663 | F | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 664 | F | 3-pyridyl | 2-(methylsulfonyl)phenyl |
| 665 | F | 3-pyridyl | 4-morpholino |
| 666 | F | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 667 | F | 3-pyridyl | 4-morpholinocarbonyl |
| 668 | F | 3-pyridyl | 2-methyl-1-imidazolyl |
| 669 | F | 3-pyridyl | 5-methyl-1-imidazolyl |
| 670 | F | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 671 | F | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 672 | F | 2-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 673 | F | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 674 | F | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 675 | F | 2-pyrimidyl | 4-morpholino |
| 676 | F | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 677 | F | 2-pyrimidyl | 4-morpholinocarbonyl |
| 678 | F | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 679 | F | 2-pyrimidyl | 5-methyl-1-imidazolyl |
| 680 | F | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 681 | F | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 682 | F | 5-pyrimidyl | 2-(methylaminosulfonyl)phenyl |

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|-----|---------------------------------|----------------|---|
| 683 | F | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 684 | F | 5-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 685 | F | 5-pyrimidyl | 4-morpholino |
| 686 | F | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 687 | F | 5-pyrimidyl | 4-morpholinocarbonyl |
| 688 | F | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 689 | F | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 690 | F | 5-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 691 | F | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 692 | F | 2-Cl-phenyl | 2-(methylaminosulfonyl)phenyl |
| 693 | F | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 694 | F | 2-Cl-phenyl | 2-(methylsulfonyl)phenyl |
| 695 | F | 2-Cl-phenyl | 4-morpholino |
| 696 | F | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 697 | F | 2-Cl-phenyl | 4-morpholinocarbonyl |
| 698 | F | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 699 | F | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 700 | F | 2-Cl-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 701 | F | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 702 | F | 2-F-phenyl | 2-(methylaminosulfonyl)phenyl |
| 703 | F | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 704 | F | 2-F-phenyl | 2-(methylsulfonyl)phenyl |
| 705 | F | 2-F-phenyl | 4-morpholino |
| 706 | F | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 707 | F | 2-F-phenyl | 4-morpholinocarbonyl |
| 708 | F | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 709 | F | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 710 | F | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 711 | F | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 712 | F | 2,6-diF-phenyl | 2-(methylaminosulfonyl)phenyl |
| 713 | F | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |
| 714 | F | 2,6-diF-phenyl | 2-(methylsulfonyl)phenyl |
| 715 | F | 2,6-diF-phenyl | 4-morpholino |
| 716 | F | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 717 | F | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 718 | F | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 719 | F | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 720 | F | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 721 | CO ₂ CH ₃ | phenyl | 2-(aminosulfonyl)phenyl |
| 722 | CO ₂ CH ₃ | phenyl | 2-(methylaminosulfonyl)phenyl |
| 723 | CO ₂ CH ₃ | phenyl | 1-pyrrolidinocarbonyl |
| 724 | CO ₂ CH ₃ | phenyl | 2-(methylsulfonyl)phenyl |
| 725 | CO ₂ CH ₃ | phenyl | 4-morpholino |
| 726 | CO ₂ CH ₃ | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 727 | CO ₂ CH ₃ | phenyl | 4-morpholinocarbonyl |
| 728 | CO ₂ CH ₃ | phenyl | 2-methyl-1-imidazolyl |
| 729 | CO ₂ CH ₃ | phenyl | 5-methyl-1-imidazolyl |
| 730 | CO ₂ CH ₃ | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 731 | CO ₂ CH ₃ | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 732 | CO ₂ CH ₃ | 2-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 733 | CO ₂ CH ₃ | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 734 | CO ₂ CH ₃ | 2-pyridyl | 2-(methylsulfonyl)phenyl |
| 735 | CO ₂ CH ₃ | 2-pyridyl | 4-morpholino |

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| 736 | CO ₂ CH ₃ | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 737 | CO ₂ CH ₃ | 2-pyridyl | 4-morpholinocarbonyl |
| 738 | CO ₂ CH ₃ | 2-pyridyl | 2-methyl-1-imidazolyl |
| 739 | CO ₂ CH ₃ | 2-pyridyl | 5-methyl-1-imidazolyl |
| 740 | CO ₂ CH ₃ | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 741 | CO ₂ CH ₃ | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 742 | CO ₂ CH ₃ | 3-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 743 | CO ₂ CH ₃ | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 744 | CO ₂ CH ₃ | 3-pyridyl | 2-(methylsulfonyl)phenyl |
| 745 | CO ₂ CH ₃ | 3-pyridyl | 4-morpholino |
| 746 | CO ₂ CH ₃ | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 747 | CO ₂ CH ₃ | 3-pyridyl | 4-morpholinocarbonyl |
| 748 | CO ₂ CH ₃ | 3-pyridyl | 2-methyl-1-imidazolyl |
| 749 | CO ₂ CH ₃ | 3-pyridyl | 5-methyl-1-imidazolyl |
| 750 | CO ₂ CH ₃ | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 751 | CO ₂ CH ₃ | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 752 | CO ₂ CH ₃ | 2-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 753 | CO ₂ CH ₃ | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 754 | CO ₂ CH ₃ | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 755 | CO ₂ CH ₃ | 2-pyrimidyl | 4-morpholino |
| 756 | CO ₂ CH ₃ | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 757 | CO ₂ CH ₃ | 2-pyrimidyl | 4-morpholinocarbonyl |
| 758 | CO ₂ CH ₃ | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 759 | CO ₂ CH ₃ | 2-pyrimidyl | 5-methyl-1-imidazolyl |
| 760 | CO ₂ CH ₃ | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 761 | CO ₂ CH ₃ | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 762 | CO ₂ CH ₃ | 5-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 763 | CO ₂ CH ₃ | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 764 | CO ₂ CH ₃ | 5-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 765 | CO ₂ CH ₃ | 5-pyrimidyl | 4-morpholino |
| 766 | CO ₂ CH ₃ | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 767 | CO ₂ CH ₃ | 5-pyrimidyl | 4-morpholinocarbonyl |
| 768 | CO ₂ CH ₃ | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 769 | CO ₂ CH ₃ | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 770 | CO ₂ CH ₃ | 5-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 771 | CO ₂ CH ₃ | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 772 | CO ₂ CH ₃ | 2-Cl-phenyl | 2-(methylaminosulfonyl)phenyl |
| 773 | CO ₂ CH ₃ | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 774 | CO ₂ CH ₃ | 2-Cl-phenyl | 2-(methylsulfonyl)phenyl |
| 775 | CO ₂ CH ₃ | 2-Cl-phenyl | 4-morpholino |
| 776 | CO ₂ CH ₃ | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 777 | CO ₂ CH ₃ | 2-Cl-phenyl | 4-morpholinocarbonyl |
| 778 | CO ₂ CH ₃ | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 779 | CO ₂ CH ₃ | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 780 | CO ₂ CH ₃ | 2-Cl-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 781 | CO ₂ CH ₃ | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 782 | CO ₂ CH ₃ | 2-F-phenyl | 2-(methylaminosulfonyl)phenyl |
| 783 | CO ₂ CH ₃ | 2-F-phenyl | 1-pyrrolidinocarbonyl |

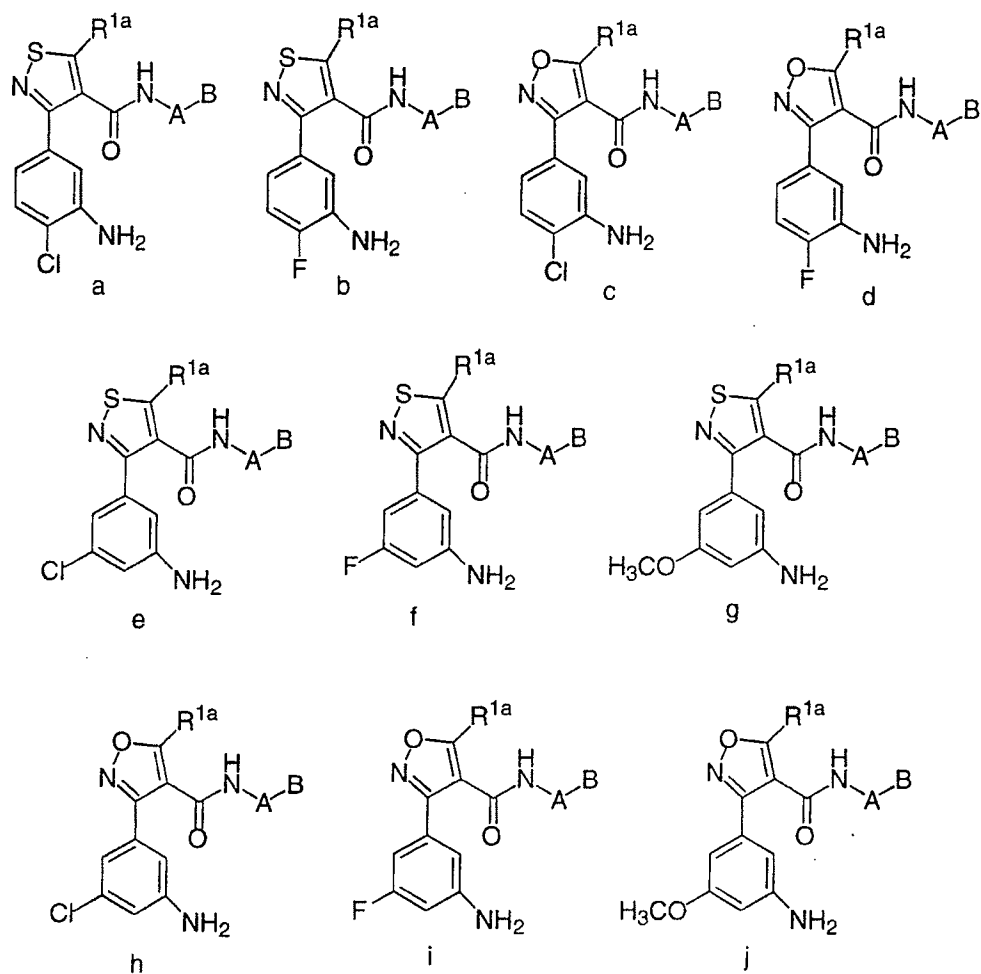
| | | | |
|-----|----------------------------------|----------------|---|
| 784 | CO ₂ CH ₃ | 2-F-phenyl | 2-(methylsulfonyl)phenyl |
| 785 | CO ₂ CH ₃ | 2-F-phenyl | 4-morpholino |
| 786 | CO ₂ CH ₃ | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 787 | CO ₂ CH ₃ | 2-F-phenyl | 4-morpholinocarbonyl |
| 788 | CO ₂ CH ₃ | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 789 | CO ₂ CH ₃ | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 790 | CO ₂ CH ₃ | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 791 | CO ₂ CH ₃ | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 792 | CO ₂ CH ₃ | 2,6-diF-phenyl | 2-(methylaminosulfonyl)phenyl |
| 793 | CO ₂ CH ₃ | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |
| 794 | CO ₂ CH ₃ | 2,6-diF-phenyl | 2-(methylsulfonyl)phenyl |
| 795 | CO ₂ CH ₃ | 2,6-diF-phenyl | 4-morpholino |
| 796 | CO ₂ CH ₃ | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 797 | CO ₂ CH ₃ | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 798 | CO ₂ CH ₃ | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 799 | CO ₂ CH ₃ | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 800 | CO ₂ CH ₃ | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 801 | CH ₂ OCH ₃ | phenyl | 2-(aminosulfonyl)phenyl |
| 802 | CH ₂ OCH ₃ | phenyl | 2-(methylaminosulfonyl)phenyl |
| 803 | CH ₂ OCH ₃ | phenyl | 1-pyrrolidinocarbonyl |
| 804 | CH ₂ OCH ₃ | phenyl | 2-(methylsulfonyl)phenyl |
| 805 | CH ₂ OCH ₃ | phenyl | 4-morpholino |
| 806 | CH ₂ OCH ₃ | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 807 | CH ₂ OCH ₃ | phenyl | 4-morpholinocarbonyl |
| 808 | CH ₂ OCH ₃ | phenyl | 2-methyl-1-imidazolyl |
| 809 | CH ₂ OCH ₃ | phenyl | 5-methyl-1-imidazolyl |
| 810 | CH ₂ OCH ₃ | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 811 | CH ₂ OCH ₃ | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 812 | CH ₂ OCH ₃ | 2-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 813 | CH ₂ OCH ₃ | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 814 | CH ₂ OCH ₃ | 2-pyridyl | 2-(methylsulfonyl)phenyl |
| 815 | CH ₂ OCH ₃ | 2-pyridyl | 4-morpholino |
| 816 | CH ₂ OCH ₃ | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 817 | CH ₂ OCH ₃ | 2-pyridyl | 4-morpholinocarbonyl |
| 818 | CH ₂ OCH ₃ | 2-pyridyl | 2-methyl-1-imidazolyl |
| 819 | CH ₂ OCH ₃ | 2-pyridyl | 5-methyl-1-imidazolyl |
| 820 | CH ₂ OCH ₃ | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 821 | CH ₂ OCH ₃ | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 822 | CH ₂ OCH ₃ | 3-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 823 | CH ₂ OCH ₃ | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 824 | CH ₂ OCH ₃ | 3-pyridyl | 2-(methylsulfonyl)phenyl |
| 825 | CH ₂ OCH ₃ | 3-pyridyl | 4-morpholino |
| 826 | CH ₂ OCH ₃ | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 827 | CH ₂ OCH ₃ | 3-pyridyl | 4-morpholinocarbonyl |
| 828 | CH ₂ OCH ₃ | 3-pyridyl | 2-methyl-1-imidazolyl |
| 829 | CH ₂ OCH ₃ | 3-pyridyl | 5-methyl-1-imidazolyl |
| 830 | CH ₂ OCH ₃ | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 831 | CH ₂ OCH ₃ | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |

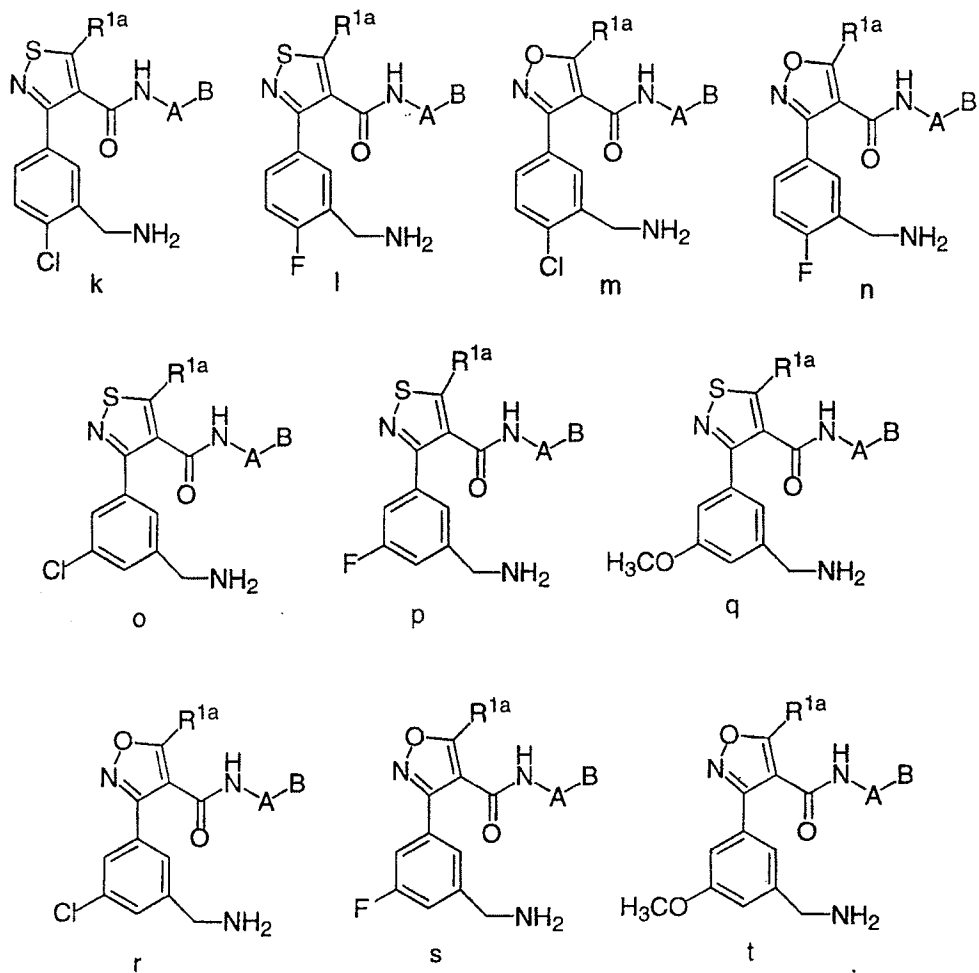
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|-----|----------------------------------|----------------|---|
| 832 | CH ₂ OCH ₃ | 2-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 833 | CH ₂ OCH ₃ | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 834 | CH ₂ OCH ₃ | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 835 | CH ₂ OCH ₃ | 2-pyrimidyl | 4-morpholino |
| 836 | CH ₂ OCH ₃ | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 837 | CH ₂ OCH ₃ | 2-pyrimidyl | 4-morpholinocarbonyl |
| 838 | CH ₂ OCH ₃ | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 839 | CH ₂ OCH ₃ | 2-pyrimidyl | 5-methyl-1-imidazolyl |
| 840 | CH ₂ OCH ₃ | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 841 | CH ₂ OCH ₃ | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 842 | CH ₂ OCH ₃ | 5-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 843 | CH ₂ OCH ₃ | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 844 | CH ₂ OCH ₃ | 5-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 845 | CH ₂ OCH ₃ | 5-pyrimidyl | 4-morpholino |
| 846 | CH ₂ OCH ₃ | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 847 | CH ₂ OCH ₃ | 5-pyrimidyl | 4-morpholinocarbonyl |
| 848 | CH ₂ OCH ₃ | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 849 | CH ₂ OCH ₃ | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 850 | CH ₂ OCH ₃ | 5-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 851 | CH ₂ OCH ₃ | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 852 | CH ₂ OCH ₃ | 2-Cl-phenyl | 2-(methylaminosulfonyl)phenyl |
| 853 | CH ₂ OCH ₃ | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 854 | CH ₂ OCH ₃ | 2-Cl-phenyl | 2-(methylsulfonyl)phenyl |
| 855 | CH ₂ OCH ₃ | 2-Cl-phenyl | 4-morpholino |
| 856 | CH ₂ OCH ₃ | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 857 | CH ₂ OCH ₃ | 2-Cl-phenyl | 4-morpholinocarbonyl |
| 858 | CH ₂ OCH ₃ | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 859 | CH ₂ OCH ₃ | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 860 | CH ₂ OCH ₃ | 2-Cl-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 861 | CH ₂ OCH ₃ | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 862 | CH ₂ OCH ₃ | 2-F-phenyl | 2-(methylaminosulfonyl)phenyl |
| 863 | CH ₂ OCH ₃ | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 864 | CH ₂ OCH ₃ | 2-F-phenyl | 2-(methylsulfonyl)phenyl |
| 865 | CH ₂ OCH ₃ | 2-F-phenyl | 4-morpholino |
| 866 | CH ₂ OCH ₃ | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 867 | CH ₂ OCH ₃ | 2-F-phenyl | 4-morpholinocarbonyl |
| 868 | CH ₂ OCH ₃ | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 869 | CH ₂ OCH ₃ | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 870 | CH ₂ OCH ₃ | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 871 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 872 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 2-(methylaminosulfonyl)phenyl |
| 873 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |
| 874 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 2-(methylsulfonyl)phenyl |
| 875 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 4-morpholino |
| 876 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 877 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 878 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 879 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |

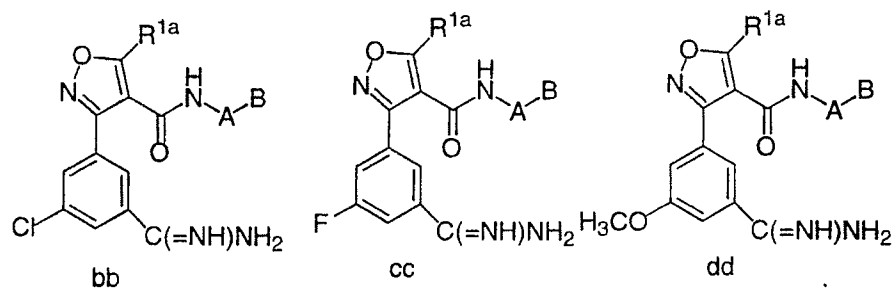
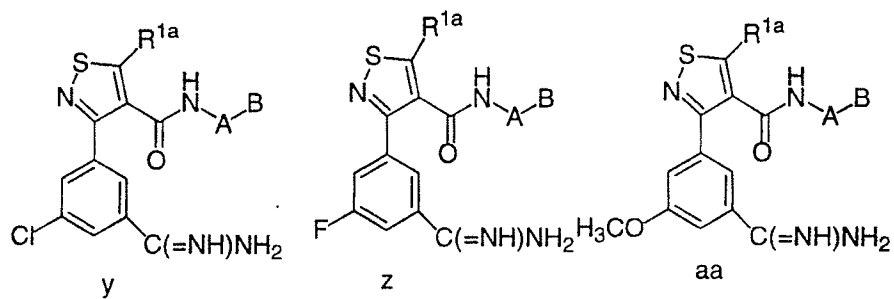
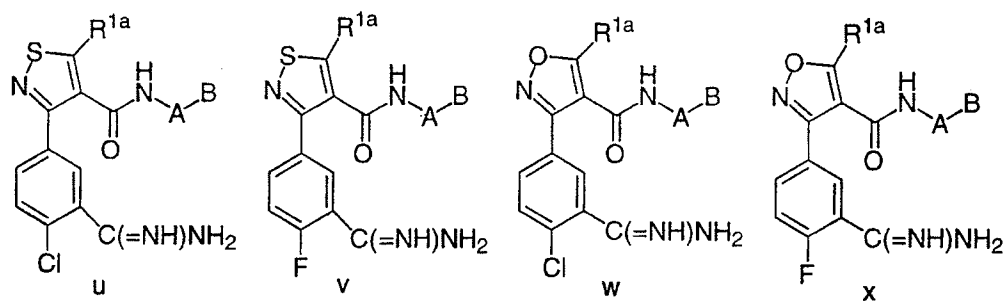
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|-----|----------------------------------|----------------|---|
| 880 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 881 | CONH ₂ | phenyl | 2-(aminosulfonyl)phenyl |
| 882 | CONH ₂ | phenyl | 2-(methylaminosulfonyl)phenyl |
| 883 | CONH ₂ | phenyl | 1-pyrrolidinocarbonyl |
| 884 | CONH ₂ | phenyl | 2-(methylsulfonyl)phenyl |
| 885 | CONH ₂ | phenyl | 4-morpholino |
| 886 | CONH ₂ | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 887 | CONH ₂ | phenyl | 4-morpholinocarbonyl |
| 888 | CONH ₂ | phenyl | 2-methyl-1-imidazolyl |
| 889 | CONH ₂ | phenyl | 5-methyl-1-imidazolyl |
| 890 | CONH ₂ | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 891 | CONH ₂ | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 892 | CONH ₂ | 2-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 893 | CONH ₂ | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 894 | CONH ₂ | 2-pyridyl | 2-(methylsulfonyl)phenyl |
| 895 | CONH ₂ | 2-pyridyl | 4-morpholino |
| 896 | CONH ₂ | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 897 | CONH ₂ | 2-pyridyl | 4-morpholinocarbonyl |
| 898 | CONH ₂ | 2-pyridyl | 2-methyl-1-imidazolyl |
| 899 | CONH ₂ | 2-pyridyl | 5-methyl-1-imidazolyl |
| 900 | CONH ₂ | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 901 | CONH ₂ | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 902 | CONH ₂ | 3-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 903 | CONH ₂ | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 904 | CONH ₂ | 3-pyridyl | 2-(methylsulfonyl)phenyl |
| 905 | CONH ₂ | 3-pyridyl | 4-morpholino |
| 906 | CONH ₂ | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 907 | CONH ₂ | 3-pyridyl | 4-morpholinocarbonyl |
| 908 | CONH ₂ | 3-pyridyl | 2-methyl-1-imidazolyl |
| 909 | CONH ₂ | 3-pyridyl | 5-methyl-1-imidazolyl |
| 910 | CONH ₂ | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 911 | CONH ₂ | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 912 | CONH ₂ | 2-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 913 | CONH ₂ | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 914 | CONH ₂ | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 915 | CONH ₂ | 2-pyrimidyl | 4-morpholino |
| 916 | CONH ₂ | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 917 | CONH ₂ | 2-pyrimidyl | 4-morpholinocarbonyl |
| 918 | CONH ₂ | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 919 | CONH ₂ | 2-pyrimidyl | 5-methyl-1-imidazolyl |
| 920 | CONH ₂ | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 921 | CONH ₂ | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 922 | CONH ₂ | 5-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 923 | CONH ₂ | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 924 | CONH ₂ | 5-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 925 | CONH ₂ | 5-pyrimidyl | 4-morpholino |
| 926 | CONH ₂ | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 927 | CONH ₂ | 5-pyrimidyl | 4-morpholinocarbonyl |

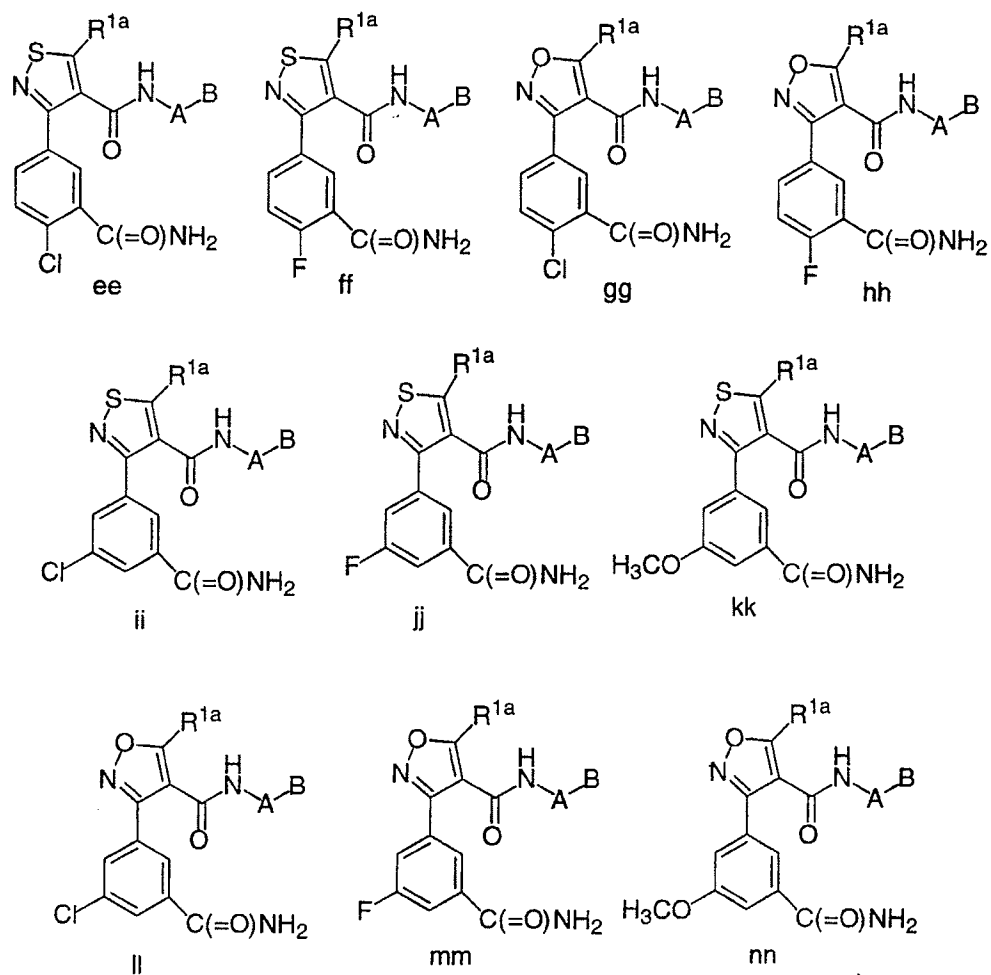
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| 928 | CONH ₂ | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 929 | CONH ₂ | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 930 | CONH ₂ | 5-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 931 | CONH ₂ | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 932 | CONH ₂ | 2-Cl-phenyl | 2-(methylaminosulfonyl)phenyl |
| 933 | CONH ₂ | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 934 | CONH ₂ | 2-Cl-phenyl | 2-(methylsulfonyl)phenyl |
| 935 | CONH ₂ | 2-Cl-phenyl | 4-morpholino |
| 936 | CONH ₂ | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 937 | CONH ₂ | 2-Cl-phenyl | 4-morpholinocarbonyl |
| 938 | CONH ₂ | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 939 | CONH ₂ | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 940 | CONH ₂ | 2-Cl-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 941 | CONH ₂ | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 942 | CONH ₂ | 2-F-phenyl | 2-(methylaminosulfonyl)phenyl |
| 943 | CONH ₂ | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 944 | CONH ₂ | 2-F-phenyl | 2-(methylsulfonyl)phenyl |
| 945 | CONH ₂ | 2-F-phenyl | 4-morpholino |
| 946 | CONH ₂ | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 947 | CONH ₂ | 2-F-phenyl | 4-morpholinocarbonyl |
| 948 | CONH ₂ | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 949 | CONH ₂ | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 950 | CONH ₂ | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 951 | CONH ₂ | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 952 | CONH ₂ | 2,6-diF-phenyl | 2-(methylaminosulfonyl)phenyl |
| 953 | CONH ₂ | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |
| 954 | CONH ₂ | 2,6-diF-phenyl | 2-(methylsulfonyl)phenyl |
| 955 | CONH ₂ | 2,6-diF-phenyl | 4-morpholino |
| 956 | CONH ₂ | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 957 | CONH ₂ | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 958 | CONH ₂ | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 959 | CONH ₂ | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 960 | CONH ₂ | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |

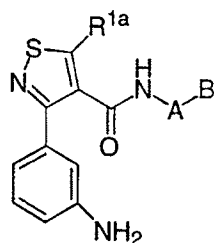
Table 7



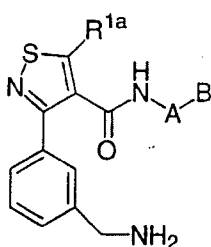




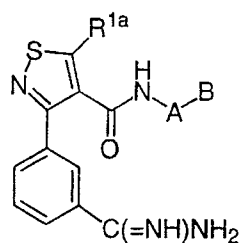




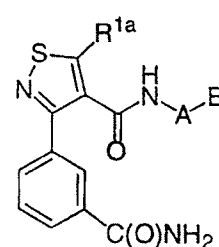
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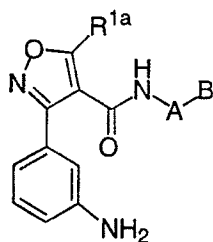
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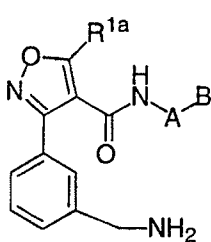
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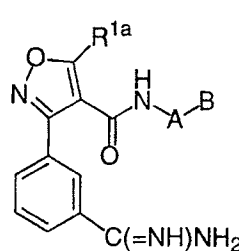
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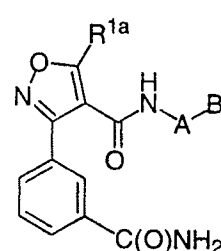
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vv

| Ex # | R ^{1a} | A | B |
|------|-----------------|-----------|---|
| 1 | CH ₃ | phenyl | 2-(aminosulfonyl)phenyl |
| 2 | CH ₃ | phenyl | 2-(methylaminosulfonyl)phenyl |
| 3 | CH ₃ | phenyl | 1-pyrrolidinocarbonyl |
| 4 | CH ₃ | phenyl | 2-(methylsulfonyl)phenyl |
| 5 | CH ₃ | phenyl | 4-morpholino |
| 6 | CH ₃ | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 7 | CH ₃ | phenyl | 4-morpholinocarbonyl |
| 8 | CH ₃ | phenyl | 2-methyl-1-imidazolyl |
| 9 | CH ₃ | phenyl | 5-methyl-1-imidazolyl |
| 10 | CH ₃ | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 11 | CH ₃ | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 12 | CH ₃ | 2-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 13 | CH ₃ | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 14 | CH ₃ | 2-pyridyl | 2-(methylsulfonyl)phenyl |
| 15 | CH ₃ | 2-pyridyl | 4-morpholino |
| 16 | CH ₃ | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 17 | CH ₃ | 2-pyridyl | 4-morpholinocarbonyl |
| 18 | CH ₃ | 2-pyridyl | 2-methyl-1-imidazolyl |
| 19 | CH ₃ | 2-pyridyl | 5-methyl-1-imidazolyl |
| 20 | CH ₃ | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 21 | CH ₃ | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 22 | CH ₃ | 3-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 23 | CH ₃ | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 24 | CH ₃ | 3-pyridyl | 2-(methylsulfonyl)phenyl |
| 25 | CH ₃ | 3-pyridyl | 4-morpholino |

| | | | |
|----|-----------------|----------------|---|
| 26 | CH ₃ | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 27 | CH ₃ | 3-pyridyl | 4-morpholinocarbonyl |
| 28 | CH ₃ | 3-pyridyl | 2-methyl-1-imidazolyl |
| 29 | CH ₃ | 3-pyridyl | 5-methyl-1-imidazolyl |
| 30 | CH ₃ | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 31 | CH ₃ | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 32 | CH ₃ | 2-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 33 | CH ₃ | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 34 | CH ₃ | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 35 | CH ₃ | 2-pyrimidyl | 4-morpholino |
| 36 | CH ₃ | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 37 | CH ₃ | 2-pyrimidyl | 4-morpholinocarbonyl |
| 38 | CH ₃ | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 39 | CH ₃ | 2-pyrimidyl | 5-methyl-1-imidazolyl |
| 40 | CH ₃ | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 41 | CH ₃ | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 42 | CH ₃ | 5-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 43 | CH ₃ | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 44 | CH ₃ | 5-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 45 | CH ₃ | 5-pyrimidyl | 4-morpholino |
| 46 | CH ₃ | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 47 | CH ₃ | 5-pyrimidyl | 4-morpholinocarbonyl |
| 48 | CH ₃ | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 49 | CH ₃ | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 50 | CH ₃ | 5-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 51 | CH ₃ | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 52 | CH ₃ | 2-Cl-phenyl | 2-(methylaminosulfonyl)phenyl |
| 53 | CH ₃ | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 54 | CH ₃ | 2-Cl-phenyl | 2-(methylsulfonyl)phenyl |
| 55 | CH ₃ | 2-Cl-phenyl | 4-morpholino |
| 56 | CH ₃ | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 57 | CH ₃ | 2-Cl-phenyl | 4-morpholinocarbonyl |
| 58 | CH ₃ | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 59 | CH ₃ | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 60 | CH ₃ | 2-Cl-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 61 | CH ₃ | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 62 | CH ₃ | 2-F-phenyl | 2-(methylaminosulfonyl)phenyl |
| 63 | CH ₃ | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 64 | CH ₃ | 2-F-phenyl | 2-(methylsulfonyl)phenyl |
| 65 | CH ₃ | 2-F-phenyl | 4-morpholino |
| 66 | CH ₃ | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 67 | CH ₃ | 2-F-phenyl | 4-morpholinocarbonyl |
| 68 | CH ₃ | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 69 | CH ₃ | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 70 | CH ₃ | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 71 | CH ₃ | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 72 | CH ₃ | 2,6-diF-phenyl | 2-(methylaminosulfonyl)phenyl |
| 73 | CH ₃ | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |

| | | | |
|-----|---------------------------------|----------------|---|
| 74 | CH ₃ | 2,6-diF-phenyl | 2-(methylsulfonyl)phenyl |
| 75 | CH ₃ | 2,6-diF-phenyl | 4-morpholino |
| 76 | CH ₃ | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 77 | CH ₃ | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 78 | CH ₃ | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 79 | CH ₃ | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 80 | CH ₃ | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 81 | CH ₂ CH ₃ | phenyl | 2-(aminosulfonyl)phenyl |
| 82 | CH ₂ CH ₃ | phenyl | 2-(methylaminosulfonyl)phenyl |
| 83 | CH ₂ CH ₃ | phenyl | 1-pyrrolidinocarbonyl |
| 84 | CH ₂ CH ₃ | phenyl | 2-(methylsulfonyl)phenyl |
| 85 | CH ₂ CH ₃ | phenyl | 4-morpholino |
| 86 | CH ₂ CH ₃ | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 87 | CH ₂ CH ₃ | phenyl | 4-morpholinocarbonyl |
| 88 | CH ₂ CH ₃ | phenyl | 2-methyl-1-imidazolyl |
| 89 | CH ₂ CH ₃ | phenyl | 5-methyl-1-imidazolyl |
| 90 | CH ₂ CH ₃ | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 91 | CH ₂ CH ₃ | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 92 | CH ₂ CH ₃ | 2-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 93 | CH ₂ CH ₃ | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 94 | CH ₂ CH ₃ | 2-pyridyl | 2-(methylsulfonyl)phenyl |
| 95 | CH ₂ CH ₃ | 2-pyridyl | 4-morpholino |
| 96 | CH ₂ CH ₃ | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 97 | CH ₂ CH ₃ | 2-pyridyl | 4-morpholinocarbonyl |
| 98 | CH ₂ CH ₃ | 2-pyridyl | 2-methyl-1-imidazolyl |
| 99 | CH ₂ CH ₃ | 2-pyridyl | 5-methyl-1-imidazolyl |
| 100 | CH ₂ CH ₃ | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 101 | CH ₂ CH ₃ | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 102 | CH ₂ CH ₃ | 3-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 103 | CH ₂ CH ₃ | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 104 | CH ₂ CH ₃ | 3-pyridyl | 2-(methylsulfonyl)phenyl |
| 105 | CH ₂ CH ₃ | 3-pyridyl | 4-morpholino |
| 106 | CH ₂ CH ₃ | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 107 | CH ₂ CH ₃ | 3-pyridyl | 4-morpholinocarbonyl |
| 108 | CH ₂ CH ₃ | 3-pyridyl | 2-methyl-1-imidazolyl |
| 109 | CH ₂ CH ₃ | 3-pyridyl | 5-methyl-1-imidazolyl |
| 110 | CH ₂ CH ₃ | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 111 | CH ₂ CH ₃ | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 112 | CH ₂ CH ₃ | 2-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 113 | CH ₂ CH ₃ | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 114 | CH ₂ CH ₃ | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 115 | CH ₂ CH ₃ | 2-pyrimidyl | 4-morpholino |
| 116 | CH ₂ CH ₃ | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 117 | CH ₂ CH ₃ | 2-pyrimidyl | 4-morpholinocarbonyl |
| 118 | CH ₂ CH ₃ | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 119 | CH ₂ CH ₃ | 2-pyrimidyl | 5-methyl-1-imidazolyl |
| 120 | CH ₂ CH ₃ | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 121 | CH ₂ CH ₃ | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |

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| 122 | CH ₂ CH ₃ | 5-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 123 | CH ₂ CH ₃ | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 124 | CH ₂ CH ₃ | 5-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 125 | CH ₂ CH ₃ | 5-pyrimidyl | 4-morpholino |
| 126 | CH ₂ CH ₃ | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 127 | CH ₂ CH ₃ | 5-pyrimidyl | 4-morpholinocarbonyl |
| 128 | CH ₂ CH ₃ | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 129 | CH ₂ CH ₃ | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 130 | CH ₂ CH ₃ | 5-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 131 | CH ₂ CH ₃ | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 132 | CH ₂ CH ₃ | 2-Cl-phenyl | 2-(methylaminosulfonyl)phenyl |
| 133 | CH ₂ CH ₃ | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 134 | CH ₂ CH ₃ | 2-Cl-phenyl | 2-(methylsulfonyl)phenyl |
| 135 | CH ₂ CH ₃ | 2-Cl-phenyl | 4-morpholino |
| 136 | CH ₂ CH ₃ | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 137 | CH ₂ CH ₃ | 2-Cl-phenyl | 4-morpholinocarbonyl |
| 138 | CH ₂ CH ₃ | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 139 | CH ₂ CH ₃ | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 140 | CH ₂ CH ₃ | 2-Cl-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 141 | CH ₂ CH ₃ | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 142 | CH ₂ CH ₃ | 2-F-phenyl | 2-(methylaminosulfonyl)phenyl |
| 143 | CH ₂ CH ₃ | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 144 | CH ₂ CH ₃ | 2-F-phenyl | 2-(methylsulfonyl)phenyl |
| 145 | CH ₂ CH ₃ | 2-F-phenyl | 4-morpholino |
| 146 | CH ₂ CH ₃ | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 147 | CH ₂ CH ₃ | 2-F-phenyl | 4-morpholinocarbonyl |
| 148 | CH ₂ CH ₃ | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 149 | CH ₂ CH ₃ | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 150 | CH ₂ CH ₃ | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 151 | CH ₂ CH ₃ | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 152 | CH ₂ CH ₃ | 2,6-diF-phenyl | 2-(methylaminosulfonyl)phenyl |
| 153 | CH ₂ CH ₃ | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |
| 154 | CH ₂ CH ₃ | 2,6-diF-phenyl | 2-(methylsulfonyl)phenyl |
| 155 | CH ₂ CH ₃ | 2,6-diF-phenyl | 4-morpholino |
| 156 | CH ₂ CH ₃ | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 157 | CH ₂ CH ₃ | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 158 | CH ₂ CH ₃ | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 159 | CH ₂ CH ₃ | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 160 | CH ₂ CH ₃ | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 161 | CF ₃ | phenyl | 2-(aminosulfonyl)phenyl |
| 162 | CF ₃ | phenyl | 2-(methylaminosulfonyl)phenyl |
| 163 | CF ₃ | phenyl | 1-pyrrolidinocarbonyl |
| 164 | CF ₃ | phenyl | 2-(methylsulfonyl)phenyl |
| 165 | CF ₃ | phenyl | 4-morpholino |
| 166 | CF ₃ | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 167 | CF ₃ | phenyl | 4-morpholinocarbonyl |
| 168 | CF ₃ | phenyl | 2-methyl-1-imidazolyl |
| 169 | CF ₃ | phenyl | 5-methyl-1-imidazolyl |

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| 170 | CF ₃ | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 171 | CF ₃ | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 172 | CF ₃ | 2-pyridyl | 2-(methyaminosulfonyl)phenyl |
| 173 | CF ₃ | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 174 | CF ₃ | 2-pyridyl | 2-(methylsulfonyl)phenyl |
| 175 | CF ₃ | 2-pyridyl | 4-morpholino |
| 176 | CF ₃ | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 177 | CF ₃ | 2-pyridyl | 4-morpholinocarbonyl |
| 178 | CF ₃ | 2-pyridyl | 2-methyl-1-imidazolyl |
| 179 | CF ₃ | 2-pyridyl | 5-methyl-1-imidazolyl |
| 180 | CF ₃ | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 181 | CF ₃ | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 182 | CF ₃ | 3-pyridyl | 2-(methyaminosulfonyl)phenyl |
| 183 | CF ₃ | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 184 | CF ₃ | 3-pyridyl | 2-(methylsulfonyl)phenyl |
| 185 | CF ₃ | 3-pyridyl | 4-morpholino |
| 186 | CF ₃ | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 187 | CF ₃ | 3-pyridyl | 4-morpholinocarbonyl |
| 188 | CF ₃ | 3-pyridyl | 2-methyl-1-imidazolyl |
| 189 | CF ₃ | 3-pyridyl | 5-methyl-1-imidazolyl |
| 190 | CF ₃ | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 191 | CF ₃ | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 192 | CF ₃ | 2-pyrimidyl | 2-(methyaminosulfonyl)phenyl |
| 193 | CF ₃ | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 194 | CF ₃ | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 195 | CF ₃ | 2-pyrimidyl | 4-morpholino |
| 196 | CF ₃ | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 197 | CF ₃ | 2-pyrimidyl | 4-morpholinocarbonyl |
| 198 | CF ₃ | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 199 | CF ₃ | 2-pyrimidyl | 5-methyl-1-imidazolyl |
| 200 | CF ₃ | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 201 | CF ₃ | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 202 | CF ₃ | 5-pyrimidyl | 2-(methyaminosulfonyl)phenyl |
| 203 | CF ₃ | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 204 | CF ₃ | 5-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 205 | CF ₃ | 5-pyrimidyl | 4-morpholino |
| 206 | CF ₃ | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 207 | CF ₃ | 5-pyrimidyl | 4-morpholinocarbonyl |
| 208 | CF ₃ | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 209 | CF ₃ | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 210 | CF ₃ | 5-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 211 | CF ₃ | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 212 | CF ₃ | 2-Cl-phenyl | 2-(methyaminosulfonyl)phenyl |
| 213 | CF ₃ | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 214 | CF ₃ | 2-Cl-phenyl | 2-(methylsulfonyl)phenyl |
| 215 | CF ₃ | 2-Cl-phenyl | 4-morpholino |
| 216 | CF ₃ | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 217 | CF ₃ | 2-Cl-phenyl | 4-morpholinocarbonyl |

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| 218 | CF ₃ | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 219 | CF ₃ | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 220 | CF ₃ | 2-Cl-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 221 | CF ₃ | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 222 | CF ₃ | 2-F-phenyl | 2-(methylaminosulfonyl)phenyl |
| 223 | CF ₃ | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 224 | CF ₃ | 2-F-phenyl | 2-(methylsulfonyl)phenyl |
| 225 | CF ₃ | 2-F-phenyl | 4-morpholino |
| 226 | CF ₃ | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 227 | CF ₃ | 2-F-phenyl | 4-morpholinocarbonyl |
| 228 | CF ₃ | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 229 | CF ₃ | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 230 | CF ₃ | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 231 | CF ₃ | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 232 | CF ₃ | 2,6-diF-phenyl | 2-(methylaminosulfonyl)phenyl |
| 233 | CF ₃ | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |
| 234 | CF ₃ | 2,6-diF-phenyl | 2-(methylsulfonyl)phenyl |
| 235 | CF ₃ | 2,6-diF-phenyl | 4-morpholino |
| 236 | CF ₃ | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 237 | CF ₃ | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 238 | CF ₃ | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 239 | CF ₃ | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 240 | CF ₃ | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 241 | SCH ₃ | phenyl | 2-(aminosulfonyl)phenyl |
| 242 | SCH ₃ | phenyl | 2-(methylaminosulfonyl)phenyl |
| 243 | SCH ₃ | phenyl | 1-pyrrolidinocarbonyl |
| 244 | SCH ₃ | phenyl | 2-(methylsulfonyl)phenyl |
| 245 | SCH ₃ | phenyl | 4-morpholino |
| 246 | SCH ₃ | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 247 | SCH ₃ | phenyl | 4-morpholinocarbonyl |
| 248 | SCH ₃ | phenyl | 2-methyl-1-imidazolyl |
| 249 | SCH ₃ | phenyl | 5-methyl-1-imidazolyl |
| 250 | SCH ₃ | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 251 | SCH ₃ | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 252 | SCH ₃ | 2-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 253 | SCH ₃ | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 254 | SCH ₃ | 2-pyridyl | 2-(methylsulfonyl)phenyl |
| 255 | SCH ₃ | 2-pyridyl | 4-morpholino |
| 256 | SCH ₃ | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 257 | SCH ₃ | 2-pyridyl | 4-morpholinocarbonyl |
| 258 | SCH ₃ | 2-pyridyl | 2-methyl-1-imidazolyl |
| 259 | SCH ₃ | 2-pyridyl | 5-methyl-1-imidazolyl |
| 260 | SCH ₃ | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 261 | SCH ₃ | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 262 | SCH ₃ | 3-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 263 | SCH ₃ | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 264 | SCH ₃ | 3-pyridyl | 2-(methylsulfonyl)phenyl |
| 265 | SCH ₃ | 3-pyridyl | 4-morpholino |

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| 266 | SCH ₃ | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 267 | SCH ₃ | 3-pyridyl | 4-morpholinocarbonyl |
| 268 | SCH ₃ | 3-pyridyl | 2-methyl-1-imidazolyl |
| 269 | SCH ₃ | 3-pyridyl | 5-methyl-1-imidazolyl |
| 270 | SCH ₃ | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 271 | SCH ₃ | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 272 | SCH ₃ | 2-pyrimidyl | 2-(methylaninosulfonyl)phenyl |
| 273 | SCH ₃ | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 274 | SCH ₃ | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 275 | SCH ₃ | 2-pyrimidyl | 4-morpholino |
| 276 | SCH ₃ | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 277 | SCH ₃ | 2-pyrimidyl | 4-morpholinocarbonyl |
| 278 | SCH ₃ | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 279 | SCH ₃ | 2-pyrimidyl | 5-methyl-1-imidazolyl |
| 280 | SCH ₃ | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 281 | SCH ₃ | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 282 | SCH ₃ | 5-pyrimidyl | 2-(methylaninosulfonyl)phenyl |
| 283 | SCH ₃ | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 284 | SCH ₃ | 5-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 285 | SCH ₃ | 5-pyrimidyl | 4-morpholino |
| 286 | SCH ₃ | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 287 | SCH ₃ | 5-pyrimidyl | 4-morpholinocarbonyl |
| 288 | SCH ₃ | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 289 | SCH ₃ | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 290 | SCH ₃ | 5-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 291 | SCH ₃ | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 292 | SCH ₃ | 2-Cl-phenyl | 2-(methylaninosulfonyl)phenyl |
| 293 | SCH ₃ | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 294 | SCH ₃ | 2-Cl-phenyl | 2-(methylsulfonyl)phenyl |
| 295 | SCH ₃ | 2-Cl-phenyl | 4-morpholino |
| 296 | SCH ₃ | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 297 | SCH ₃ | 2-Cl-phenyl | 4-morpholinocarbonyl |
| 298 | SCH ₃ | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 299 | SCH ₃ | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 300 | SCH ₃ | 2-Cl-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 301 | SCH ₃ | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 302 | SCH ₃ | 2-F-phenyl | 2-(methylaninosulfonyl)phenyl |
| 303 | SCH ₃ | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 304 | SCH ₃ | 2-F-phenyl | 2-(methylsulfonyl)phenyl |
| 305 | SCH ₃ | 2-F-phenyl | 4-morpholino |
| 306 | SCH ₃ | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 307 | SCH ₃ | 2-F-phenyl | 4-morpholinocarbonyl |
| 308 | SCH ₃ | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 309 | SCH ₃ | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 310 | SCH ₃ | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 311 | SCH ₃ | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 312 | SCH ₃ | 2,6-diF-phenyl | 2-(methylaninosulfonyl)phenyl |
| 313 | SCH ₃ | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |

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| 314 | SCH ₃ | 2,6-diF-phenyl | 2-(methylsulfonyl)phenyl |
| 315 | SCH ₃ | 2,6-diF-phenyl | 4-morpholino |
| 316 | SCH ₃ | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 317 | SCH ₃ | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 318 | SCH ₃ | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 319 | SCH ₃ | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 320 | SCH ₃ | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 321 | SOCH ₃ | phenyl | 2-(aminosulfonyl)phenyl |
| 322 | SOCH ₃ | phenyl | 2-(methylaminosulfonyl)phenyl |
| 323 | SOCH ₃ | phenyl | 1-pyrrolidinocarbonyl |
| 324 | SOCH ₃ | phenyl | 2-(methylsulfonyl)phenyl |
| 325 | SOCH ₃ | phenyl | 4-morpholino |
| 326 | SOCH ₃ | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 327 | SOCH ₃ | phenyl | 4-morpholinocarbonyl |
| 328 | SOCH ₃ | phenyl | 2-methyl-1-imidazolyl |
| 329 | SOCH ₃ | phenyl | 5-methyl-1-imidazolyl |
| 330 | SOCH ₃ | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 331 | SOCH ₃ | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 332 | SOCH ₃ | 2-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 333 | SOCH ₃ | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 334 | SOCH ₃ | 2-pyridyl | 2-(methylsulfonyl)phenyl |
| 335 | SOCH ₃ | 2-pyridyl | 4-morpholino |
| 336 | SOCH ₃ | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 337 | SOCH ₃ | 2-pyridyl | 4-morpholinocarbonyl |
| 338 | SOCH ₃ | 2-pyridyl | 2-methyl-1-imidazolyl |
| 339 | SOCH ₃ | 2-pyridyl | 5-methyl-1-imidazolyl |
| 340 | SOCH ₃ | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 341 | SOCH ₃ | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 342 | SOCH ₃ | 3-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 343 | SOCH ₃ | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 344 | SOCH ₃ | 3-pyridyl | 2-(methylsulfonyl)phenyl |
| 345 | SOCH ₃ | 3-pyridyl | 4-morpholino |
| 346 | SOCH ₃ | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 347 | SOCH ₃ | 3-pyridyl | 4-morpholinocarbonyl |
| 348 | SOCH ₃ | 3-pyridyl | 2-methyl-1-imidazolyl |
| 349 | SOCH ₃ | 3-pyridyl | 5-methyl-1-imidazolyl |
| 350 | SOCH ₃ | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 351 | SOCH ₃ | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 352 | SOCH ₃ | 2-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 353 | SOCH ₃ | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 354 | SOCH ₃ | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 355 | SOCH ₃ | 2-pyrimidyl | 4-morpholino |
| 356 | SOCH ₃ | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 357 | SOCH ₃ | 2-pyrimidyl | 4-morpholinocarbonyl |
| 358 | SOCH ₃ | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 359 | SOCH ₃ | 2-pyrimidyl | 5-methyl-1-imidazolyl |
| 360 | SOCH ₃ | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 361 | SOCH ₃ | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |

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| 362 | SOCH ₃ | 5-pyrimidyl | 2-(methylaninosulfonyl)phenyl |
| 363 | SOCH ₃ | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 364 | SOCH ₃ | 5-pyrimidyl | 2-(methyisulfonyl)phenyl |
| 365 | SOCH ₃ | 5-pyrimidyl | 4-morpholino |
| 366 | SOCH ₃ | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 367 | SOCH ₃ | 5-pyrimidyl | 4-morpholinocarbonyl |
| 368 | SOCH ₃ | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 369 | SOCH ₃ | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 370 | SOCH ₃ | 5-pyrimidyl | 2-methyisulfonyl-1-imidazolyl |
| 371 | SOCH ₃ | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 372 | SOCH ₃ | 2-Cl-phenyl | 2-(methylaninosulfonyl)phenyl |
| 373 | SOCH ₃ | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 374 | SOCH ₃ | 2-Cl-phenyl | 2-(methyisulfonyl)phenyl |
| 375 | SOCH ₃ | 2-Cl-phenyl | 4-morpholino |
| 376 | SOCH ₃ | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 377 | SOCH ₃ | 2-Cl-phenyl | 4-morpholinocarbonyl |
| 378 | SOCH ₃ | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 379 | SOCH ₃ | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 380 | SOCH ₃ | 2-Cl-phenyl | 2-methyisulfonyl-1-imidazolyl |
| 381 | SOCH ₃ | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 382 | SOCH ₃ | 2-F-phenyl | 2-(methylaninosulfonyl)phenyl |
| 383 | SOCH ₃ | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 384 | SOCH ₃ | 2-F-phenyl | 2-(methyisulfonyl)phenyl |
| 385 | SOCH ₃ | 2-F-phenyl | 4-morpholino |
| 386 | SOCH ₃ | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 387 | SOCH ₃ | 2-F-phenyl | 4-morpholinocarbonyl |
| 388 | SOCH ₃ | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 389 | SOCH ₃ | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 390 | SOCH ₃ | 2-F-phenyl | 2-methyisulfonyl-1-imidazolyl |
| 391 | SOCH ₃ | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 392 | SOCH ₃ | 2,6-diF-phenyl | 2-(methylaninosulfonyl)phenyl |
| 393 | SOCH ₃ | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |
| 394 | SOCH ₃ | 2,6-diF-phenyl | 2-(methyisulfonyl)phenyl |
| 395 | SOCH ₃ | 2,6-diF-phenyl | 4-morpholino |
| 396 | SOCH ₃ | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 397 | SOCH ₃ | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 398 | SOCH ₃ | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 399 | SOCH ₃ | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 400 | SOCH ₃ | 2,6-diF-phenyl | 2-methyisulfonyl-1-imidazolyl |
| 401 | SO ₂ CH ₃ | phenyl | 2-(aminosulfonyl)phenyl |
| 402 | SO ₂ CH ₃ | phenyl | 2-(methylaninosulfonyl)phenyl |
| 403 | SO ₂ CH ₃ | phenyl | 1-pyrrolidinocarbonyl |
| 404 | SO ₂ CH ₃ | phenyl | 2-(methyisulfonyl)phenyl |
| 405 | SO ₂ CH ₃ | phenyl | 4-morpholino |
| 406 | SO ₂ CH ₃ | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 407 | SO ₂ CH ₃ | phenyl | 4-morpholinocarbonyl |
| 408 | SO ₂ CH ₃ | phenyl | 2-methyl-1-imidazolyl |
| 409 | SO ₂ CH ₃ | phenyl | 5-methyl-1-imidazolyl |

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| 410 | SO ₂ CH ₃ | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 411 | SO ₂ CH ₃ | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 412 | SO ₂ CH ₃ | 2-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 413 | SO ₂ CH ₃ | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 414 | SO ₂ CH ₃ | 2-pyridyl | 2-(methylsulfonyl)phenyl |
| 415 | SO ₂ CH ₃ | 2-pyridyl | 4-morpholino |
| 416 | SO ₂ CH ₃ | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 417 | SO ₂ CH ₃ | 2-pyridyl | 4-morpholinocarbonyl |
| 418 | SO ₂ CH ₃ | 2-pyridyl | 2-methyl-1-imidazolyl |
| 419 | SO ₂ CH ₃ | 2-pyridyl | 5-methyl-1-imidazolyl |
| 420 | SO ₂ CH ₃ | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 421 | SO ₂ CH ₃ | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 422 | SO ₂ CH ₃ | 3-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 423 | SO ₂ CH ₃ | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 424 | SO ₂ CH ₃ | 3-pyridyl | 2-(methylsulfonyl)phenyl |
| 425 | SO ₂ CH ₃ | 3-pyridyl | 4-morpholino |
| 426 | SO ₂ CH ₃ | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 427 | SO ₂ CH ₃ | 3-pyridyl | 4-morpholinocarbonyl |
| 428 | SO ₂ CH ₃ | 3-pyridyl | 2-methyl-1-imidazolyl |
| 429 | SO ₂ CH ₃ | 3-pyridyl | 5-methyl-1-imidazolyl |
| 430 | SO ₂ CH ₃ | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 431 | SO ₂ CH ₃ | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 432 | SO ₂ CH ₃ | 2-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 433 | SO ₂ CH ₃ | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 434 | SO ₂ CH ₃ | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 435 | SO ₂ CH ₃ | 2-pyrimidyl | 4-morpholino |
| 436 | SO ₂ CH ₃ | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 437 | SO ₂ CH ₃ | 2-pyrimidyl | 4-morpholinocarbonyl |
| 438 | SO ₂ CH ₃ | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 439 | SO ₂ CH ₃ | 2-pyrimidyl | 5-methyl-1-imidazolyl |
| 440 | SO ₂ CH ₃ | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 441 | SO ₂ CH ₃ | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 442 | SO ₂ CH ₃ | 5-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 443 | SO ₂ CH ₃ | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 444 | SO ₂ CH ₃ | 5-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 445 | SO ₂ CH ₃ | 5-pyrimidyl | 4-morpholino |
| 446 | SO ₂ CH ₃ | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 447 | SO ₂ CH ₃ | 5-pyrimidyl | 4-morpholinocarbonyl |
| 448 | SO ₂ CH ₃ | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 449 | SO ₂ CH ₃ | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 450 | SO ₂ CH ₃ | 5-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 451 | SO ₂ CH ₃ | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 452 | SO ₂ CH ₃ | 2-Cl-phenyl | 2-(methylaminosulfonyl)phenyl |
| 453 | SO ₂ CH ₃ | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 454 | SO ₂ CH ₃ | 2-Cl-phenyl | 2-(methylsulfonyl)phenyl |
| 455 | SO ₂ CH ₃ | 2-Cl-phenyl | 4-morpholino |
| 456 | SO ₂ CH ₃ | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 457 | SO ₂ CH ₃ | 2-Cl-phenyl | 4-morpholinocarbonyl |

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| 458 | SO ₂ CH ₃ | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 459 | SO ₂ CH ₃ | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 460 | SO ₂ CH ₃ | 2-Cl-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 461 | SO ₂ CH ₃ | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 462 | SO ₂ CH ₃ | 2-F-phenyl | 2-(methyaminosulfonyl)phenyl |
| 463 | SO ₂ CH ₃ | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 464 | SO ₂ CH ₃ | 2-F-phenyl | 2-(methylsulfonyl)phenyl |
| 465 | SO ₂ CH ₃ | 2-F-phenyl | 4-morpholino |
| 466 | SO ₂ CH ₃ | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 467 | SO ₂ CH ₃ | 2-F-phenyl | 4-morpholinocarbonyl |
| 468 | SO ₂ CH ₃ | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 469 | SO ₂ CH ₃ | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 470 | SO ₂ CH ₃ | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 471 | SO ₂ CH ₃ | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 472 | SO ₂ CH ₃ | 2,6-diF-phenyl | 2-(methyaminosulfonyl)phenyl |
| 473 | SO ₂ CH ₃ | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |
| 474 | SO ₂ CH ₃ | 2,6-diF-phenyl | 2-(methylsulfonyl)phenyl |
| 475 | SO ₂ CH ₃ | 2,6-diF-phenyl | 4-morpholino |
| 476 | SO ₂ CH ₃ | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 477 | SO ₂ CH ₃ | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 478 | SO ₂ CH ₃ | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 479 | SO ₂ CH ₃ | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 480 | SO ₂ CH ₃ | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 481 | CH ₂ NH- SO ₂ CH ₃ | phenyl | 2-(aminosulfonyl)phenyl |
| 482 | CH ₂ NH- SO ₂ CH ₃ | phenyl | 2-(methyaminosulfonyl)phenyl |
| 483 | CH ₂ NH- SO ₂ CH ₃ | phenyl | 1-pyrrolidinocarbonyl |
| 484 | CH ₂ NH- SO ₂ CH ₃ | phenyl | 2-(methylsulfonyl)phenyl |
| 485 | CH ₂ NH- SO ₂ CH ₃ | phenyl | 4-morpholino |
| 486 | CH ₂ NH- SO ₂ CH ₃ | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 487 | CH ₂ NH- SO ₂ CH ₃ | phenyl | 4-morpholinocarbonyl |
| 488 | CH ₂ NH- SO ₂ CH ₃ | phenyl | 2-methyl-1-imidazolyl |
| 489 | CH ₂ NH- SO ₂ CH ₃ | phenyl | 5-methyl-1-imidazolyl |
| 490 | CH ₂ NH- SO ₂ CH ₃ | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 491 | CH ₂ NH- SO ₂ CH ₃ | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 492 | CH ₂ NH- SO ₂ CH ₃ | 2-pyridyl | 2-(methyaminosulfonyl)phenyl |

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| 493 | CH ₂ NH-SO ₂ CH ₃ | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 494 | CH ₂ NH-SO ₂ CH ₃ | 2-pyridyl | 2-(methylsulfonyl)phenyl |
| 495 | CH ₂ NH-SO ₂ CH ₃ | 2-pyridyl | 4-morpholino |
| 496 | CH ₂ NH-SO ₂ CH ₃ | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 497 | CH ₂ NH-SO ₂ CH ₃ | 2-pyridyl | 4-morpholinocarbonyl |
| 498 | CH ₂ NH-SO ₂ CH ₃ | 2-pyridyl | 2-methyl-1-imidazolyl |
| 499 | CH ₂ NH-SO ₂ CH ₃ | 2-pyridyl | 5-methyl-1-imidazolyl |
| 500 | CH ₂ NH-SO ₂ CH ₃ | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 501 | CH ₂ NH-SO ₂ CH ₃ | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 502 | CH ₂ NH-SO ₂ CH ₃ | 3-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 503 | CH ₂ NH-SO ₂ CH ₃ | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 504 | CH ₂ NH-SO ₂ CH ₃ | 3-pyridyl | 2-(methylsulfonyl)phenyl |
| 505 | CH ₂ NH-SO ₂ CH ₃ | 3-pyridyl | 4-morpholino |
| 506 | CH ₂ NH-SO ₂ CH ₃ | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 507 | CH ₂ NH-SO ₂ CH ₃ | 3-pyridyl | 4-morpholinocarbonyl |
| 508 | CH ₂ NH-SO ₂ CH ₃ | 3-pyridyl | 2-methyl-1-imidazolyl |
| 509 | CH ₂ NH-SO ₂ CH ₃ | 3-pyridyl | 5-methyl-1-imidazolyl |
| 510 | CH ₂ NH-SO ₂ CH ₃ | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 511 | CH ₂ NH-SO ₂ CH ₃ | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 512 | CH ₂ NH-SO ₂ CH ₃ | 2-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 513 | CH ₂ NH-SO ₂ CH ₃ | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 514 | CH ₂ NH-SO ₂ CH ₃ | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 515 | CH ₂ NH-SO ₂ CH ₃ | 2-pyrimidyl | 4-morpholino |
| 516 | CH ₂ NH-SO ₂ CH ₃ | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |

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| 517 | CH ₂ NH- SO ₂ CH ₃ | 2-pyrimidyl | 4-morpholinocarbonyl |
| 518 | CH ₂ NH- SO ₂ CH ₃ | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 519 | CH ₂ NH- SO ₂ CH ₃ | 2-pyrimidyl | 5-methyl-1-imidazolyl |
| 520 | CH ₂ NH- SO ₂ CH ₃ | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 521 | CH ₂ NH- SO ₂ CH ₃ | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 522 | CH ₂ NH- SO ₂ CH ₃ | 5-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 523 | CH ₂ NH- SO ₂ CH ₃ | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 524 | CH ₂ NH- SO ₂ CH ₃ | 5-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 525 | CH ₂ NH- SO ₂ CH ₃ | 5-pyrimidyl | 4-morpholino |
| 526 | CH ₂ NH- SO ₂ CH ₃ | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 527 | CH ₂ NH- SO ₂ CH ₃ | 5-pyrimidyl | 4-morpholinocarbonyl |
| 528 | CH ₂ NH- SO ₂ CH ₃ | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 529 | CH ₂ NH- SO ₂ CH ₃ | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 530 | CH ₂ NH- SO ₂ CH ₃ | 5-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 531 | CH ₂ NH- SO ₂ CH ₃ | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 532 | CH ₂ NH- SO ₂ CH ₃ | 2-Cl-phenyl | 2-(methylaminosulfonyl)phenyl |
| 533 | CH ₂ NH- SO ₂ CH ₃ | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 534 | CH ₂ NH- SO ₂ CH ₃ | 2-Cl-phenyl | 2-(methylsulfonyl)phenyl |
| 535 | CH ₂ NH- SO ₂ CH ₃ | 2-Cl-phenyl | 4-morpholino |
| 536 | CH ₂ NH- SO ₂ CH ₃ | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 537 | CH ₂ NH- SO ₂ CH ₃ | 2-Cl-phenyl | 4-morpholinocarbonyl |
| 538 | CH ₂ NH- SO ₂ CH ₃ | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 539 | CH ₂ NH- SO ₂ CH ₃ | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 540 | CH ₂ NH- SO ₂ CH ₃ | 2-Cl-phenyl | 2-methylsulfonyl-1-imidazolyl |

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| 541 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 542 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 2-(methylaminosulfonyl)phenyl |
| 543 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 544 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 2-(methylsulfonyl)phenyl |
| 545 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 4-morpholino |
| 546 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 547 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 4-morpholinocarbonyl |
| 548 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 549 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 550 | CH ₂ NH-SO ₂ CH ₃ | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 551 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 552 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 2-(methylaminosulfonyl)phenyl |
| 553 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |
| 554 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 2-(methylsulfonyl)phenyl |
| 555 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 4-morpholino |
| 556 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 557 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 558 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 559 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 560 | CH ₂ NH-SO ₂ CH ₃ | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 561 | Cl | phenyl | 2-(aminosulfonyl)phenyl |
| 562 | Cl | phenyl | 2-(methylaminosulfonyl)phenyl |
| 563 | Cl | phenyl | 1-pyrrolidinocarbonyl |
| 564 | Cl | phenyl | 2-(methylsulfonyl)phenyl |
| 565 | Cl | phenyl | 4-morpholino |
| 566 | Cl | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 567 | Cl | phenyl | 4-morpholinocarbonyl |
| 568 | Cl | phenyl | 2-methyl-1-imidazolyl |
| 569 | Cl | phenyl | 5-methyl-1-imidazolyl |

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| 570 | Cl | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 571 | Cl | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 572 | Cl | 2-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 573 | Cl | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 574 | Cl | 2-pyridyl | 2-(methylsulfonyl)phenyl |
| 575 | Cl | 2-pyridyl | 4-morpholino |
| 576 | Cl | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 577 | Cl | 2-pyridyl | 4-morpholinocarbonyl |
| 578 | Cl | 2-pyridyl | 2-methyl-1-imidazolyl |
| 579 | Cl | 2-pyridyl | 5-methyl-1-imidazolyl |
| 580 | Cl | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 581 | Cl | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 582 | Cl | 3-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 583 | Cl | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 584 | Cl | 3-pyridyl | 2-(methylsulfonyl)phenyl |
| 585 | Cl | 3-pyridyl | 4-morpholino |
| 586 | Cl | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 587 | Cl | 3-pyridyl | 4-morpholinocarbonyl |
| 588 | Cl | 3-pyridyl | 2-methyl-1-imidazolyl |
| 589 | Cl | 3-pyridyl | 5-methyl-1-imidazolyl |
| 590 | Cl | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 591 | Cl | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 592 | Cl | 2-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 593 | Cl | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 594 | Cl | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 595 | Cl | 2-pyrimidyl | 4-morpholino |
| 596 | Cl | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 597 | Cl | 2-pyrimidyl | 4-morpholinocarbonyl |
| 598 | Cl | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 599 | Cl | 2-pyrimidyl | 5-methyl-1-imidazolyl |
| 600 | Cl | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 601 | Cl | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 602 | Cl | 5-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 603 | Cl | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 604 | Cl | 5-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 605 | Cl | 5-pyrimidyl | 4-morpholino |
| 606 | Cl | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 607 | Cl | 5-pyrimidyl | 4-morpholinocarbonyl |
| 608 | Cl | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 609 | Cl | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 610 | Cl | 5-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 611 | Cl | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 612 | Cl | 2-Cl-phenyl | 2-(methylaminosulfonyl)phenyl |
| 613 | Cl | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 614 | Cl | 2-Cl-phenyl | 2-(methylsulfonyl)phenyl |
| 615 | Cl | 2-Cl-phenyl | 4-morpholino |
| 616 | Cl | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 617 | Cl | 2-Cl-phenyl | 4-morpholinocarbonyl |
| 618 | Cl | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 619 | Cl | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 620 | Cl | 2-Cl-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 621 | Cl | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 622 | Cl | 2-F-phenyl | 2-(methylaminosulfonyl)phenyl |
| 623 | Cl | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 624 | Cl | 2-F-phenyl | 2-(methylsulfonyl)phenyl |

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| 625 | Cl | 2-F-phenyl | 4-morpholino |
| 626 | Cl | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 627 | Cl | 2-F-phenyl | 4-morpholinocarbonyl |
| 628 | Cl | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 629 | Cl | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 630 | Cl | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 631 | Cl | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 632 | Cl | 2,6-diF-phenyl | 2-(methylaminosulfonyl)phenyl |
| 633 | Cl | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |
| 634 | Cl | 2,6-diF-phenyl | 2-(methylsulfonyl)phenyl |
| 635 | Cl | 2,6-diF-phenyl | 4-morpholino |
| 636 | Cl | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 637 | Cl | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 638 | Cl | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 639 | Cl | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 640 | Cl | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 641 | F | phenyl | 2-(aminosulfonyl)phenyl |
| 642 | F | phenyl | 2-(methylaminosulfonyl)phenyl |
| 643 | F | phenyl | 1-pyrrolidinocarbonyl |
| 644 | F | phenyl | 2-(methylsulfonyl)phenyl |
| 645 | F | phenyl | 4-morpholino |
| 646 | F | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 647 | F | phenyl | 4-morpholinocarbonyl |
| 648 | F | phenyl | 2-methyl-1-imidazolyl |
| 649 | F | phenyl | 5-methyl-1-imidazolyl |
| 650 | F | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 651 | F | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 652 | F | 2-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 653 | F | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 654 | F | 2-pyridyl | 2-(methylsulfonyl)phenyl |
| 655 | F | 2-pyridyl | 4-morpholino |
| 656 | F | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 657 | F | 2-pyridyl | 4-morpholinocarbonyl |
| 658 | F | 2-pyridyl | 2-methyl-1-imidazolyl |
| 659 | F | 2-pyridyl | 5-methyl-1-imidazolyl |
| 660 | F | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 661 | F | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 662 | F | 3-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 663 | F | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 664 | F | 3-pyridyl | 2-(methylsulfonyl)phenyl |
| 665 | F | 3-pyridyl | 4-morpholino |
| 666 | F | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 667 | F | 3-pyridyl | 4-morpholinocarbonyl |
| 668 | F | 3-pyridyl | 2-methyl-1-imidazolyl |
| 669 | F | 3-pyridyl | 5-methyl-1-imidazolyl |
| 670 | F | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 671 | F | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 672 | F | 2-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 673 | F | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 674 | F | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 675 | F | 2-pyrimidyl | 4-morpholino |
| 676 | F | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 677 | F | 2-pyrimidyl | 4-morpholinocarbonyl |
| 678 | F | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 679 | F | 2-pyrimidyl | 5-methyl-1-imidazolyl |

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| 680 | F | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 681 | F | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 682 | F | 5-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 683 | F | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 684 | F | 5-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 685 | F | 5-pyrimidyl | 4-morpholino |
| 686 | F | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 687 | F | 5-pyrimidyl | 4-morpholinocarbonyl |
| 688 | F | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 689 | F | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 690 | F | 5-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 691 | F | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 692 | F | 2-Cl-phenyl | 2-(methylaminosulfonyl)phenyl |
| 693 | F | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 694 | F | 2-Cl-phenyl | 2-(methylsulfonyl)phenyl |
| 695 | F | 2-Cl-phenyl | 4-morpholino |
| 696 | F | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 697 | F | 2-Cl-phenyl | 4-morpholinocarbonyl |
| 698 | F | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 699 | F | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 700 | F | 2-Cl-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 701 | F | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 702 | F | 2-F-phenyl | 2-(methylaminosulfonyl)phenyl |
| 703 | F | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 704 | F | 2-F-phenyl | 2-(methylsulfonyl)phenyl |
| 705 | F | 2-F-phenyl | 4-morpholino |
| 706 | F | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 707 | F | 2-F-phenyl | 4-morpholinocarbonyl |
| 708 | F | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 709 | F | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 710 | F | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 711 | F | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 712 | F | 2,6-diF-phenyl | 2-(methylaminosulfonyl)phenyl |
| 713 | F | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |
| 714 | F | 2,6-diF-phenyl | 2-(methylsulfonyl)phenyl |
| 715 | F | 2,6-diF-phenyl | 4-morpholino |
| 716 | F | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 717 | F | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 718 | F | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 719 | F | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 720 | F | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 721 | CO ₂ CH ₃ | phenyl | 2-(aminosulfonyl)phenyl |
| 722 | CO ₂ CH ₃ | phenyl | 2-(methylaminosulfonyl)phenyl |
| 723 | CO ₂ CH ₃ | phenyl | 1-pyrrolidinocarbonyl |
| 724 | CO ₂ CH ₃ | phenyl | 2-(methylsulfonyl)phenyl |
| 725 | CO ₂ CH ₃ | phenyl | 4-morpholino |
| 726 | CO ₂ CH ₃ | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 727 | CO ₂ CH ₃ | phenyl | 4-morpholinocarbonyl |
| 728 | CO ₂ CH ₃ | phenyl | 2-methyl-1-imidazolyl |
| 729 | CO ₂ CH ₃ | phenyl | 5-methyl-1-imidazolyl |
| 730 | CO ₂ CH ₃ | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 731 | CO ₂ CH ₃ | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 732 | CO ₂ CH ₃ | 2-pyridyl | 2-(methylaminosulfonyl)phenyl |

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| 733 | CO ₂ CH ₃ | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 734 | CO ₂ CH ₃ | 2-pyridyl | 2-(methylsulfonyl)phenyl |
| 735 | CO ₂ CH ₃ | 2-pyridyl | 4-morpholino |
| 736 | CO ₂ CH ₃ | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 737 | CO ₂ CH ₃ | 2-pyridyl | 4-morpholinocarbonyl |
| 738 | CO ₂ CH ₃ | 2-pyridyl | 2-methyl-1-imidazolyl |
| 739 | CO ₂ CH ₃ | 2-pyridyl | 5-methyl-1-imidazolyl |
| 740 | CO ₂ CH ₃ | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 741 | CO ₂ CH ₃ | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 742 | CO ₂ CH ₃ | 3-pyridyl | 2-(methylaminosulfonyl)phenyl |
| 743 | CO ₂ CH ₃ | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 744 | CO ₂ CH ₃ | 3-pyridyl | 2-(methylsulfonyl)phenyl |
| 745 | CO ₂ CH ₃ | 3-pyridyl | 4-morpholino |
| 746 | CO ₂ CH ₃ | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 747 | CO ₂ CH ₃ | 3-pyridyl | 4-morpholinocarbonyl |
| 748 | CO ₂ CH ₃ | 3-pyridyl | 2-methyl-1-imidazolyl |
| 749 | CO ₂ CH ₃ | 3-pyridyl | 5-methyl-1-imidazolyl |
| 750 | CO ₂ CH ₃ | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 751 | CO ₂ CH ₃ | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 752 | CO ₂ CH ₃ | 2-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 753 | CO ₂ CH ₃ | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 754 | CO ₂ CH ₃ | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 755 | CO ₂ CH ₃ | 2-pyrimidyl | 4-morpholino |
| 756 | CO ₂ CH ₃ | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 757 | CO ₂ CH ₃ | 2-pyrimidyl | 4-morpholinocarbonyl |
| 758 | CO ₂ CH ₃ | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 759 | CO ₂ CH ₃ | 2-pyrimidyl | 5-methyl-1-imidazolyl |
| 760 | CO ₂ CH ₃ | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 761 | CO ₂ CH ₃ | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 762 | CO ₂ CH ₃ | 5-pyrimidyl | 2-(methylaminosulfonyl)phenyl |
| 763 | CO ₂ CH ₃ | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 764 | CO ₂ CH ₃ | 5-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 765 | CO ₂ CH ₃ | 5-pyrimidyl | 4-morpholino |
| 766 | CO ₂ CH ₃ | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 767 | CO ₂ CH ₃ | 5-pyrimidyl | 4-morpholinocarbonyl |
| 768 | CO ₂ CH ₃ | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 769 | CO ₂ CH ₃ | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 770 | CO ₂ CH ₃ | 5-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 771 | CO ₂ CH ₃ | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 772 | CO ₂ CH ₃ | 2-Cl-phenyl | 2-(methylaminosulfonyl)phenyl |
| 773 | CO ₂ CH ₃ | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 774 | CO ₂ CH ₃ | 2-Cl-phenyl | 2-(methylsulfonyl)phenyl |
| 775 | CO ₂ CH ₃ | 2-Cl-phenyl | 4-morpholino |
| 776 | CO ₂ CH ₃ | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 777 | CO ₂ CH ₃ | 2-Cl-phenyl | 4-morpholinocarbonyl |
| 778 | CO ₂ CH ₃ | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 779 | CO ₂ CH ₃ | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 780 | CO ₂ CH ₃ | 2-Cl-phenyl | 2-methylsulfonyl-1-imidazolyl |

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| 781 | CO ₂ CH ₃ | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 782 | CO ₂ CH ₃ | 2-F-phenyl | 2-(methylaninosulfonyl)phenyl |
| 783 | CO ₂ CH ₃ | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 784 | CO ₂ CH ₃ | 2-F-phenyl | 2-(methyisulfonyl)phenyl |
| 785 | CO ₂ CH ₃ | 2-F-phenyl | 4-morpholino |
| 786 | CO ₂ CH ₃ | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 787 | CO ₂ CH ₃ | 2-F-phenyl | 4-morpholinocarbonyl |
| 788 | CO ₂ CH ₃ | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 789 | CO ₂ CH ₃ | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 790 | CO ₂ CH ₃ | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 791 | CO ₂ CH ₃ | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 792 | CO ₂ CH ₃ | 2,6-diF-phenyl | 2-(methylaninosulfonyl)phenyl |
| 793 | CO ₂ CH ₃ | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |
| 794 | CO ₂ CH ₃ | 2,6-diF-phenyl | 2-(methyisulfonyl)phenyl |
| 795 | CO ₂ CH ₃ | 2,6-diF-phenyl | 4-morpholino |
| 796 | CO ₂ CH ₃ | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 797 | CO ₂ CH ₃ | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 798 | CO ₂ CH ₃ | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 799 | CO ₂ CH ₃ | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 800 | CO ₂ CH ₃ | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 801 | CH ₂ OCH ₃ | phenyl | 2-(aminosulfonyl)phenyl |
| 802 | CH ₂ OCH ₃ | phenyl | 2-(methylaninosulfonyl)phenyl |
| 803 | CH ₂ OCH ₃ | phenyl | 1-pyrrolidinocarbonyl |
| 804 | CH ₂ OCH ₃ | phenyl | 2-(methyisulfonyl)phenyl |
| 805 | CH ₂ OCH ₃ | phenyl | 4-morpholino |
| 806 | CH ₂ OCH ₃ | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 807 | CH ₂ OCH ₃ | phenyl | 4-morpholinocarbonyl |
| 808 | CH ₂ OCH ₃ | phenyl | 2-methyl-1-imidazolyl |
| 809 | CH ₂ OCH ₃ | phenyl | 5-methyl-1-imidazolyl |
| 810 | CH ₂ OCH ₃ | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 811 | CH ₂ OCH ₃ | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 812 | CH ₂ OCH ₃ | 2-pyridyl | 2-(methylaninosulfonyl)phenyl |
| 813 | CH ₂ OCH ₃ | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 814 | CH ₂ OCH ₃ | 2-pyridyl | 2-(methyisulfonyl)phenyl |
| 815 | CH ₂ OCH ₃ | 2-pyridyl | 4-morpholino |
| 816 | CH ₂ OCH ₃ | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 817 | CH ₂ OCH ₃ | 2-pyridyl | 4-morpholinocarbonyl |
| 818 | CH ₂ OCH ₃ | 2-pyridyl | 2-methyl-1-imidazolyl |
| 819 | CH ₂ OCH ₃ | 2-pyridyl | 5-methyl-1-imidazolyl |
| 820 | CH ₂ OCH ₃ | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 821 | CH ₂ OCH ₃ | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 822 | CH ₂ OCH ₃ | 3-pyridyl | 2-(methylaninosulfonyl)phenyl |
| 823 | CH ₂ OCH ₃ | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 824 | CH ₂ OCH ₃ | 3-pyridyl | 2-(methyisulfonyl)phenyl |
| 825 | CH ₂ OCH ₃ | 3-pyridyl | 4-morpholino |
| 826 | CH ₂ OCH ₃ | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 827 | CH ₂ OCH ₃ | 3-pyridyl | 4-morpholinocarbonyl |
| 828 | CH ₂ OCH ₃ | 3-pyridyl | 2-methyl-1-imidazolyl |

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| 829 | CH ₂ OCH ₃ | 3-pyridyl | 5-methyl-1-imidazolyl |
| 830 | CH ₂ OCH ₃ | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 831 | CH ₂ OCH ₃ | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 832 | CH ₂ OCH ₃ | 2-pyrimidyl | 2-(methyaminosulfonyl)phenyl |
| 833 | CH ₂ OCH ₃ | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 834 | CH ₂ OCH ₃ | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 835 | CH ₂ OCH ₃ | 2-pyrimidyl | 4-morpholino |
| 836 | CH ₂ OCH ₃ | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 837 | CH ₂ OCH ₃ | 2-pyrimidyl | 4-morpholinocarbonyl |
| 838 | CH ₂ OCH ₃ | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 839 | CH ₂ OCH ₃ | 2-pyrimidyl | 5-methyl-1-imidazolyl |
| 840 | CH ₂ OCH ₃ | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 841 | CH ₂ OCH ₃ | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 842 | CH ₂ OCH ₃ | 5-pyrimidyl | 2-(methyaminosulfonyl)phenyl |
| 843 | CH ₂ OCH ₃ | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 844 | CH ₂ OCH ₃ | 5-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 845 | CH ₂ OCH ₃ | 5-pyrimidyl | 4-morpholino |
| 846 | CH ₂ OCH ₃ | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 847 | CH ₂ OCH ₃ | 5-pyrimidyl | 4-morpholinocarbonyl |
| 848 | CH ₂ OCH ₃ | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 849 | CH ₂ OCH ₃ | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 850 | CH ₂ OCH ₃ | 5-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 851 | CH ₂ OCH ₃ | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 852 | CH ₂ OCH ₃ | 2-Cl-phenyl | 2-(methyaminosulfonyl)phenyl |
| 853 | CH ₂ OCH ₃ | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 854 | CH ₂ OCH ₃ | 2-Cl-phenyl | 2-(methylsulfonyl)phenyl |
| 855 | CH ₂ OCH ₃ | 2-Cl-phenyl | 4-morpholino |
| 856 | CH ₂ OCH ₃ | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 857 | CH ₂ OCH ₃ | 2-Cl-phenyl | 4-morpholinocarbonyl |
| 858 | CH ₂ OCH ₃ | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 859 | CH ₂ OCH ₃ | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 860 | CH ₂ OCH ₃ | 2-Cl-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 861 | CH ₂ OCH ₃ | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 862 | CH ₂ OCH ₃ | 2-F-phenyl | 2-(methyaminosulfonyl)phenyl |
| 863 | CH ₂ OCH ₃ | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 864 | CH ₂ OCH ₃ | 2-F-phenyl | 2-(methylsulfonyl)phenyl |
| 865 | CH ₂ OCH ₃ | 2-F-phenyl | 4-morpholino |
| 866 | CH ₂ OCH ₃ | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 867 | CH ₂ OCH ₃ | 2-F-phenyl | 4-morpholinocarbonyl |
| 868 | CH ₂ OCH ₃ | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 869 | CH ₂ OCH ₃ | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 870 | CH ₂ OCH ₃ | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 871 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 872 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 2-(methyaminosulfonyl)phenyl |
| 873 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |
| 874 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 2-(methylsulfonyl)phenyl |
| 875 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 4-morpholino |
| 876 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |

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| 877 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 878 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 879 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 880 | CH ₂ OCH ₃ | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 881 | CONH ₂ | phenyl | 2-(aminosulfonyl)phenyl |
| 882 | CONH ₂ | phenyl | 2-(methyaminosulfonyl)phenyl |
| 883 | CONH ₂ | phenyl | 1-pyrrolidinocarbonyl |
| 884 | CONH ₂ | phenyl | 2-(methylsulfonyl)phenyl |
| 885 | CONH ₂ | phenyl | 4-morpholino |
| 886 | CONH ₂ | phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 887 | CONH ₂ | phenyl | 4-morpholinocarbonyl |
| 888 | CONH ₂ | phenyl | 2-methyl-1-imidazolyl |
| 889 | CONH ₂ | phenyl | 5-methyl-1-imidazolyl |
| 890 | CONH ₂ | phenyl | 2-methylsulfonyl-1-imidazolyl |
| 891 | CONH ₂ | 2-pyridyl | 2-(aminosulfonyl)phenyl |
| 892 | CONH ₂ | 2-pyridyl | 2-(methyaminosulfonyl)phenyl |
| 893 | CONH ₂ | 2-pyridyl | 1-pyrrolidinocarbonyl |
| 894 | CONH ₂ | 2-pyridyl | 2-(methylsulfonyl)phenyl |
| 895 | CONH ₂ | 2-pyridyl | 4-morpholino |
| 896 | CONH ₂ | 2-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 897 | CONH ₂ | 2-pyridyl | 4-morpholinocarbonyl |
| 898 | CONH ₂ | 2-pyridyl | 2-methyl-1-imidazolyl |
| 899 | CONH ₂ | 2-pyridyl | 5-methyl-1-imidazolyl |
| 900 | CONH ₂ | 2-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 901 | CONH ₂ | 3-pyridyl | 2-(aminosulfonyl)phenyl |
| 902 | CONH ₂ | 3-pyridyl | 2-(methyaminosulfonyl)phenyl |
| 903 | CONH ₂ | 3-pyridyl | 1-pyrrolidinocarbonyl |
| 904 | CONH ₂ | 3-pyridyl | 2-(methylsulfonyl)phenyl |
| 905 | CONH ₂ | 3-pyridyl | 4-morpholino |
| 906 | CONH ₂ | 3-pyridyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 907 | CONH ₂ | 3-pyridyl | 4-morpholinocarbonyl |
| 908 | CONH ₂ | 3-pyridyl | 2-methyl-1-imidazolyl |
| 909 | CONH ₂ | 3-pyridyl | 5-methyl-1-imidazolyl |
| 910 | CONH ₂ | 3-pyridyl | 2-methylsulfonyl-1-imidazolyl |
| 911 | CONH ₂ | 2-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 912 | CONH ₂ | 2-pyrimidyl | 2-(methyaminosulfonyl)phenyl |
| 913 | CONH ₂ | 2-pyrimidyl | 1-pyrrolidinocarbonyl |
| 914 | CONH ₂ | 2-pyrimidyl | 2-(methylsulfonyl)phenyl |
| 915 | CONH ₂ | 2-pyrimidyl | 4-morpholino |
| 916 | CONH ₂ | 2-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 917 | CONH ₂ | 2-pyrimidyl | 4-morpholinocarbonyl |
| 918 | CONH ₂ | 2-pyrimidyl | 2-methyl-1-imidazolyl |
| 919 | CONH ₂ | 2-pyrimidyl | 5-methyl-1-imidazolyl |
| 920 | CONH ₂ | 2-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 921 | CONH ₂ | 5-pyrimidyl | 2-(aminosulfonyl)phenyl |
| 922 | CONH ₂ | 5-pyrimidyl | 2-(methyaminosulfonyl)phenyl |
| 923 | CONH ₂ | 5-pyrimidyl | 1-pyrrolidinocarbonyl |
| 924 | CONH ₂ | 5-pyrimidyl | 2-(methylsulfonyl)phenyl |

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| 925 | CONH ₂ | 5-pyrimidyl | 4-morpholino |
| 926 | CONH ₂ | 5-pyrimidyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 927 | CONH ₂ | 5-pyrimidyl | 4-morpholinocarbonyl |
| 928 | CONH ₂ | 5-pyrimidyl | 2-methyl-1-imidazolyl |
| 929 | CONH ₂ | 5-pyrimidyl | 5-methyl-1-imidazolyl |
| 930 | CONH ₂ | 5-pyrimidyl | 2-methylsulfonyl-1-imidazolyl |
| 931 | CONH ₂ | 2-Cl-phenyl | 2-(aminosulfonyl)phenyl |
| 932 | CONH ₂ | 2-Cl-phenyl | 2-(methylaminosulfonyl)phenyl |
| 933 | CONH ₂ | 2-Cl-phenyl | 1-pyrrolidinocarbonyl |
| 934 | CONH ₂ | 2-Cl-phenyl | 2-(methylsulfonyl)phenyl |
| 935 | CONH ₂ | 2-Cl-phenyl | 4-morpholino |
| 936 | CONH ₂ | 2-Cl-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 937 | CONH ₂ | 2-Cl-phenyl | 4-morpholinocarbonyl |
| 938 | CONH ₂ | 2-Cl-phenyl | 2-methyl-1-imidazolyl |
| 939 | CONH ₂ | 2-Cl-phenyl | 5-methyl-1-imidazolyl |
| 940 | CONH ₂ | 2-Cl-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 941 | CONH ₂ | 2-F-phenyl | 2-(aminosulfonyl)phenyl |
| 942 | CONH ₂ | 2-F-phenyl | 2-(methylaminosulfonyl)phenyl |
| 943 | CONH ₂ | 2-F-phenyl | 1-pyrrolidinocarbonyl |
| 944 | CONH ₂ | 2-F-phenyl | 2-(methylsulfonyl)phenyl |
| 945 | CONH ₂ | 2-F-phenyl | 4-morpholino |
| 946 | CONH ₂ | 2-F-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 947 | CONH ₂ | 2-F-phenyl | 4-morpholinocarbonyl |
| 948 | CONH ₂ | 2-F-phenyl | 2-methyl-1-imidazolyl |
| 949 | CONH ₂ | 2-F-phenyl | 5-methyl-1-imidazolyl |
| 950 | CONH ₂ | 2-F-phenyl | 2-methylsulfonyl-1-imidazolyl |
| 951 | CONH ₂ | 2,6-diF-phenyl | 2-(aminosulfonyl)phenyl |
| 952 | CONH ₂ | 2,6-diF-phenyl | 2-(methylaminosulfonyl)phenyl |
| 953 | CONH ₂ | 2,6-diF-phenyl | 1-pyrrolidinocarbonyl |
| 954 | CONH ₂ | 2,6-diF-phenyl | 2-(methylsulfonyl)phenyl |
| 955 | CONH ₂ | 2,6-diF-phenyl | 4-morpholino |
| 956 | CONH ₂ | 2,6-diF-phenyl | 2-(1'-CF ₃ -tetrazol-2-yl)phenyl |
| 957 | CONH ₂ | 2,6-diF-phenyl | 4-morpholinocarbonyl |
| 958 | CONH ₂ | 2,6-diF-phenyl | 2-methyl-1-imidazolyl |
| 959 | CONH ₂ | 2,6-diF-phenyl | 5-methyl-1-imidazolyl |
| 960 | CONH ₂ | 2,6-diF-phenyl | 2-methylsulfonyl-1-imidazolyl |

Utility

The compounds of this invention are useful as anticoagulants for the treatment or prevention of thromboembolic disorders in mammals. The term "thromboembolic disorders" as used herein includes arterial or venous cardiovascular or cerebrovascular thromboembolic disorders, including, for example, unstable angina, first or recurrent myocardial infarction, ischemic sudden death, transient ischemic attack, stroke, atherosclerosis, venous thrombosis, deep vein thrombosis, thrombophlebitis, arterial embolism, coronary and cerebral arterial thrombosis, cerebral embolism, kidney embolisms, and pulmonary embolisms. The anticoagulant effect of compounds of the present invention is believed to be due to inhibition of factor Xa or thrombin.

The effectiveness of compounds of the present invention as inhibitors of factor Xa was determined using purified human factor Xa and synthetic substrate. The rate of factor Xa hydrolysis of chromogenic substrate S2222 (Kabi Pharmacia, Franklin, OH) was measured both in the absence and presence of compounds of the present invention. Hydrolysis of the substrate resulted in the release of pNA, which was monitored spectrophotometrically by measuring the increase in absorbance at 405 nm. A decrease in the rate of absorbance change at 405 nm in the presence of inhibitor is indicative of enzyme inhibition. The results of this assay are expressed as inhibitory constant, K_i .

Factor Xa determinations were made in 0.10 M sodium phosphate buffer, pH 7.5, containing 0.20 M NaCl, and 0.5 % PEG 8000. The Michaelis constant, K_m , for substrate hydrolysis was determined at 25°C using the method of Lineweaver and Burk. Values of K_i were determined by allowing 0.2-0.5 nM human factor Xa (Enzyme Research Laboratories, South Bend, IN) to react with the substrate (0.20 mM-1 mM) in the presence of inhibitor. Reactions were allowed to go for 30 minutes and the velocities (rate of absorbance change vs time) were measured in the time frame of 25-30 minutes. The following relationship was used to calculate K_i values:

$$(v_0 - v_s) / v_s = I / (K_i (1 + S/K_m))$$

where:

v_0 is the velocity of the control in the absence of inhibitor;

v_s is the velocity in the presence of inhibitor;

I is the concentration of inhibitor;

K_i is the dissociation constant of the enzyme:inhibitor complex;

S is the concentration of substrate;

K_m is the Michaelis constant.

Using the methodology described above, a number of compounds of the present invention were found to exhibit a K_i of $\leq 10 \mu M$, thereby confirming the utility of the compounds of the present invention as effective Xa inhibitors.

The antithrombotic effect of compounds of the present invention can be demonstrated in a rabbit arterio-venous (AV) shunt thrombosis model. In this model, rabbits weighing 2-3 kg anesthetized with a mixture of xylazine (10 mg/kg i.m.) and ketamine (50 mg/kg i.m.) are used. A saline-filled AV shunt device is connected between the femoral arterial and the femoral venous cannulae. The AV shunt device consists of a piece of 6-cm tygon tubing which contains a piece of silk thread. Blood will flow from the femoral artery via the AV-shunt into the femoral vein. The exposure of flowing blood to a silk thread will induce the formation of a significant thrombus. After forty minutes, the shunt is disconnected and the silk thread covered with thrombus is weighed. Test agents or vehicle will be given (i.v., i.p., s.c., or orally) prior to the opening of the AV shunt. The percentage inhibition of thrombus formation is determined for each treatment group. The ID50 values (dose which produces 50% inhibition of thrombus formation) are estimated by linear regression.

The compounds of formula (I) may also be useful as inhibitors of serine proteases, notably human thrombin, plasma kallikrein and plasmin. Because of their inhibitory action, these compounds are indicated for use in the prevention or treatment of physiological reactions, blood coagulation and inflammation, catalyzed by the aforesaid class of enzymes. Specifically, the compounds have utility as drugs for the

treatment of diseases arising from elevated thrombin activity such as myocardial infarction, and as reagents used as anticoagulants in the processing of blood to plasma for diagnostic and other commercial purposes.

Some compounds of the present invention were shown to be direct acting inhibitors of the serine protease thrombin by their ability to inhibit the cleavage of small molecule substrates by thrombin in a purified system. *In vitro* inhibition constants were determined by the method described by Kettner et al. in *J. Biol. Chem.* **265**, 18289-18297 (1990), herein incorporated by reference. In these assays, thrombin-mediated hydrolysis of the chromogenic substrate S2238 (Helena Laboratories, Beaumont, TX) was monitored spectrophotometrically. Addition of an inhibitor to the assay mixture results in decreased absorbance and is indicative of thrombin inhibition. Human thrombin (Enzyme Research Laboratories, Inc., South Bend, IN) at a concentration of 0.2 nM in 0.10 M sodium phosphate buffer, pH 7.5, 0.20 M NaCl, and 0.5% PEG 6000, was incubated with various substrate concentrations ranging from 0.20 to 0.02 mM. After 25 to 30 minutes of incubation, thrombin activity was assayed by monitoring the rate of increase in absorbance at 405 nm which arises owing to substrate hydrolysis. Inhibition constants were derived from reciprocal plots of the reaction velocity as a function of substrate concentration using the standard method of Lineweaver and Burk. Using the methodology described above, some compounds of this invention were evaluated and found to exhibit a K_i of less than 10 μM , thereby confirming the utility of the compounds of the present invention as effective Xa inhibitors.

The compounds of the present invention can be administered alone or in combination with one or more additional therapeutic agents. These include other anti-coagulant or coagulation inhibitory agents, anti-platelet or platelet inhibitory agents, thrombin inhibitors, or thrombolytic or fibrinolytic agents.

The compounds are administered to a mammal in a therapeutically effective amount. By "therapeutically

effective amount" it is meant an amount of a compound of Formula I that, when administered alone or in combination with an additional therapeutic agent to a mammal, is effective to prevent or ameliorate the thromboembolic disease condition or the progression of the disease.

By "administered in combination" or "combination therapy" it is meant that the compound of Formula I and one or more additional therapeutic agents are administered concurrently to the mammal being treated. When administered in combination each component may be administered at the same time or sequentially in any order at different points in time. Thus, each component may be administered separately but sufficiently closely in time so as to provide the desired therapeutic effect. Other anticoagulant agents (or coagulation inhibitory agents) that may be used in combination with the compounds of this invention include warfarin and heparin, as well as other factor Xa inhibitors such as those described in the publications identified above under Background of the Invention.

The term anti-platelet agents (or platelet inhibitory agents), as used herein, denotes agents that inhibit platelet function such as by inhibiting the aggregation, adhesion or granular secretion of platelets. Such agents include, but are not limited to, the various known non-steroidal anti-inflammatory drugs (NSAIDS) such as aspirin, ibuprofen, naproxen, sulindac, indomethacin, mefenamate, droxicam, diclofenac, sulfinpyrazone, and piroxicam, including pharmaceutically acceptable salts or prodrugs thereof. Of the NSAIDS, aspirin (acetylsalicylic acid or ASA), and piroxicam are preferred. Other suitable anti-platelet agents include ticlopidine, including pharmaceutically acceptable salts or prodrugs thereof. Ticlopidine is also a preferred compound since it is known to be gentle on the gastro-intestinal tract in use. Still other suitable platelet inhibitory agents include IIb/IIIa antagonists, thromboxane-A₂-receptor antagonists and thromboxane-A₂-synthetase inhibitors, as well as pharmaceutically acceptable salts or prodrugs thereof.

The term thrombin inhibitors (or anti-thrombin agents), as used herein, denotes inhibitors of the serine protease thrombin. By inhibiting thrombin, various thrombin-mediated processes, such as thrombin-mediated platelet activation (that is, for example, the aggregation of platelets, and/or the granular secretion of plasminogen activator inhibitor-1 and/or serotonin) and/or fibrin formation are disrupted. A number of thrombin inhibitors are known to one of skill in the art and these inhibitors are contemplated to be used in combination with the present compounds. Such inhibitors include, but are not limited to, boroarginine derivatives, boro peptides, heparins, hirudin and argatroban, including pharmaceutically acceptable salts and prodrugs thereof. Boroarginine derivatives and boro peptides include N-acetyl and peptide derivatives of boronic acid, such as C-terminal α -aminoboronic acid derivatives of lysine, ornithine, arginine, homoarginine and corresponding isothiuronium analogs thereof. The term hirudin, as used herein, includes suitable derivatives or analogs of hirudin, referred to herein as hirulogs, such as disulfatohirudin. Boro peptide thrombin inhibitors include compounds described in Kettner et al., U.S. Patent No. 5,187,157 and European Patent Application Publication Number 293 881 A2, the disclosures of which are hereby incorporated herein by reference. Other suitable boroarginine derivatives and boro peptide thrombin inhibitors include those disclosed in PCT Application Publication Number 92/07869 and European Patent Application Publication Number 471,651 A2, the disclosures of which are hereby incorporated herein by reference.

The term thrombolytics (or fibrinolytic) agents (or thrombolytics or fibrinolytics), as used herein, denotes agents that lyse blood clots (thrombi). Such agents include tissue plasminogen activator, anistreplase, urokinase or streptokinase, including pharmaceutically acceptable salts or prodrugs thereof. The term anistreplase, as used herein, refers to anisoylated plasminogen streptokinase activator complex, as described, for example, in European Patent Application No. 028,489, the disclosure of which is hereby

incorporated herein by reference herein. The term urokinase, as used herein, is intended to denote both dual and single chain urokinase, the latter also being referred to herein as prourokinase.

Administration of the compounds of Formula I of the invention in combination with such additional therapeutic agent, may afford an efficacy advantage over the compounds and agents alone, and may do so while permitting the use of lower doses of each. A lower dosage minimizes the potential of side effects, thereby providing an increased margin of safety.

The compounds of the present invention are also useful as standard or reference compounds, for example as a quality standard or control, in tests or assays involving the inhibition of factor Xa. Such compounds may be provided in a commercial kit, for example, for use in pharmaceutical research involving factor Xa. For example, a compound of the present invention could be used as a reference in an assay to compare its known activity to a compound with an unknown activity. This would ensure the experimenter that the assay was being performed properly and provide a basis for comparison, especially if the test compound was a derivative of the reference compound. When developing new assays or protocols, compounds according to the present invention could be used to test their effectiveness.

The compounds of the present invention may also be used in diagnostic assays involving factor Xa. For example, the presence of factor Xa in an unknown sample could be determined by addition of chromogenic substrate S2222 to a series of solutions containing test sample and optionally one of the compounds of the present invention. If production of pNA is observed in the solutions containing test sample, but no compound of the present invention, then one would conclude factor Xa was present.

Dosage and Formulation

The compounds of this invention can be administered in such oral dosage forms as tablets, capsules (each of which includes sustained release or timed release formulations),

pills, powders, granules, elixirs, tinctures, suspensions, syrups, and emulsions. They may also be administered in intravenous (bolus or infusion), intraperitoneal, subcutaneous, or intramuscular form, all using dosage forms well known to those of ordinary skill in the pharmaceutical arts. They can be administered alone, but generally will be administered with a pharmaceutical carrier selected on the basis of the chosen route of administration and standard pharmaceutical practice.

The dosage regimen for the compounds of the present invention will, of course, vary depending upon known factors, such as the pharmacodynamic characteristics of the particular agent and its mode and route of administration; the species, age, sex, health, medical condition, and weight of the recipient; the nature and extent of the symptoms; the kind of concurrent treatment; the frequency of treatment; the route of administration, the renal and hepatic function of the patient, and the effect desired. A physician or veterinarian can determine and prescribe the effective amount of the drug required to prevent, counter, or arrest the progress of the thromboembolic disorder.

By way of general guidance, the daily oral dosage of each active ingredient, when used for the indicated effects, will range between about 0.001 to 1000 mg/kg of body weight, preferably between about 0.01 to 100 mg/kg of body weight per day, and most preferably between about 1.0 to 20 mg/kg/day. Intravenously, the most preferred doses will range from about 1 to about 10 mg/kg/minute during a constant rate infusion. Compounds of this invention may be administered in a single daily dose, or the total daily dosage may be administered in divided doses of two, three, or four times daily.

Compounds of this invention can be administered in intranasal form via topical use of suitable intranasal vehicles, or via transdermal routes, using transdermal skin patches. When administered in the form of a transdermal delivery system, the dosage administration will, of course, be continuous rather than intermittent throughout the dosage regimen.

The compounds are typically administered in admixture with suitable pharmaceutical diluents, excipients, or carriers (collectively referred to herein as pharmaceutical carriers) suitably selected with respect to the intended form of administration, that is, oral tablets, capsules, elixirs, syrups and the like, and consistent with conventional pharmaceutical practices.

For instance, for oral administration in the form of a tablet or capsule, the active drug component can be combined with an oral, non-toxic, pharmaceutically acceptable, inert carrier such as lactose, starch, sucrose, glucose, methyl cellulose, magnesium stearate, dicalcium phosphate, calcium sulfate, mannitol, sorbitol and the like; for oral administration in liquid form, the oral drug components can be combined with any oral, non-toxic, pharmaceutically acceptable inert carrier such as ethanol, glycerol, water, and the like. Moreover, when desired or necessary, suitable binders, lubricants, disintegrating agents, and coloring agents can also be incorporated into the mixture. Suitable binders include starch, gelatin, natural sugars such as glucose or beta-lactose, corn sweeteners, natural and synthetic gums such as acacia, tragacanth, or sodium alginate, carboxymethylcellulose, polyethylene glycol, waxes, and the like. Lubricants used in these dosage forms include sodium oleate, sodium stearate, magnesium stearate, sodium benzoate, sodium acetate, sodium chloride, and the like. Disintegrators include, without limitation, starch, methyl cellulose, agar, bentonite, xanthan gum, and the like.

The compounds of the present invention can also be administered in the form of liposome delivery systems, such as small unilamellar vesicles, large unilamellar vesicles, and multilamellar vesicles. Liposomes can be formed from a variety of phospholipids, such as cholesterol, stearylamine, or phosphatidylcholines.

Compounds of the present invention may also be coupled with soluble polymers as targetable drug carriers. Such polymers can include polyvinylpyrrolidone, pyran copolymer, polyhydroxypropylmethacrylamide-phenol,

polyhydroxyethylaspartamidophenol, or polyethyleneoxide-polylysine substituted with palmitoyl residues. Furthermore, the compounds of the present invention may be coupled to a class of biodegradable polymers useful in achieving controlled release of a drug, for example, polylactic acid, polyglycolic acid, copolymers of polylactic and polyglycolic acid, polyepsilon caprolactone, polyhydroxy butyric acid, polyorthoesters, polyacetals, polydihydropyrans, polycyanoacylates, and crosslinked or amphipathic block copolymers of hydrogels.

Dosage forms (pharmaceutical compositions) suitable for administration may contain from about 1 milligram to about 100 milligrams of active ingredient per dosage unit. In these pharmaceutical compositions the active ingredient will ordinarily be present in an amount of about 0.5-95% by weight based on the total weight of the composition.

Gelatin capsules may contain the active ingredient and powdered carriers, such as lactose, starch, cellulose derivatives, magnesium stearate, stearic acid, and the like. Similar diluents can be used to make compressed tablets. Both tablets and capsules can be manufactured as sustained release products to provide for continuous release of medication over a period of hours. Compressed tablets can be sugar coated or film coated to mask any unpleasant taste and protect the tablet from the atmosphere, or enteric coated for selective disintegration in the gastrointestinal tract.

Liquid dosage forms for oral administration can contain coloring and flavoring to increase patient acceptance.

In general, water, a suitable oil, saline, aqueous dextrose (glucose), and related sugar solutions and glycols such as propylene glycol or polyethylene glycols are suitable carriers for parenteral solutions. Solutions for parenteral administration preferably contain a water soluble salt of the active ingredient, suitable stabilizing agents, and if necessary, buffer substances. Antioxidizing agents such as sodium bisulfite, sodium sulfite, or ascorbic acid, either alone or combined, are suitable stabilizing agents. Also used are citric acid and its salts and sodium EDTA. In addition,

parenteral solutions can contain preservatives, such as benzalkonium chloride, methyl- or propyl-paraben, and chlorobutanol.

Suitable pharmaceutical carriers are described in Remington's Pharmaceutical Sciences, Mack Publishing Company, a standard reference text in this field.

Representative useful pharmaceutical dosage-forms for administration of the compounds of this invention can be illustrated as follows:

Capsules

A large number of unit capsules can be prepared by filling standard two-piece hard gelatin capsules each with 100 milligrams of powdered active ingredient, 150 milligrams of lactose, 50 milligrams of cellulose, and 6 milligrams magnesium stearate.

Soft Gelatin Capsules

A mixture of active ingredient in a digestable oil such as soybean oil, cottonseed oil or olive oil may be prepared and injected by means of a positive displacement pump into gelatin to form soft gelatin capsules containing 100 milligrams of the active ingredient. The capsules should be washed and dried.

Tablets

Tablets may be prepared by conventional procedures so that the dosage unit is 100 milligrams of active ingredient, 0.2 milligrams of colloidal silicon dioxide, 5 milligrams of magnesium stearate, 275 milligrams of microcrystalline cellulose, 11 milligrams of starch and 98.8 milligrams of lactose. Appropriate coatings may be applied to increase palatability or delay absorption.

Injectable

A parenteral composition suitable for administration by injection may be prepared by stirring 1.5% by weight of active ingredient in 10% by volume propylene glycol and water. The solution should be made isotonic with sodium chloride and sterilized.

Suspension

An aqueous suspension can be prepared for oral administration so that each 5 mL contain 100 mg of finely divided active ingredient, 200 mg of sodium carboxymethyl cellulose, 5 mg of sodium benzoate, 1.0 g of sorbitol solution, U.S.P., and 0.025 mL of vanillin.

Where the compounds of this invention are combined with other anticoagulant agents, for example, a daily dosage may be about 0.1 to 100 milligrams of the compound of Formula I and about 1 to 7.5 milligrams of the second anticoagulant, per kilogram of patient body weight. For a tablet dosage form, the compounds of this invention generally may be present in an amount of about 5 to 10 milligrams per dosage unit, and the second anti-coagulant in an amount of about 1 to 5 milligrams per dosage unit.

Where the compounds of Formula I are administered in combination with an anti-platelet agent, by way of general guidance, typically a daily dosage may be about 0.01 to 25 milligrams of the compound of Formula I and about 50 to 150 milligrams of the anti-platelet agent, preferably about 0.1 to 1 milligrams of the compound of Formula I and about 1 to 3 milligrams of antiplatelet agents, per kilogram of patient body weight.

Where the compounds of Formula I are administered in combination with thrombolytic agent, typically a daily dosage may be about 0.1 to 1 milligrams of the compound of Formula I, per kilogram of patient body weight and, in the case of the thrombolytic agents, the usual dosage of the thrombolytic agent when administered alone may be reduced by about 70-80% when administered with a compound of Formula I.

Where two or more of the foregoing second therapeutic agents are administered with the compound of Formula I, generally the amount of each component in a typical daily dosage and typical dosage form may be reduced relative to the usual dosage of the agent when administered alone, in view of the additive or synergistic effect of the therapeutic agents when administered in combination.

Particularly when provided as a single dosage unit, the potential exists for a chemical interaction between the combined active ingredients. For this reason, when the compound of Formula I and a second therapeutic agent are combined in a single dosage unit they are formulated such that although the active ingredients are combined in a single dosage unit, the physical contact between the active ingredients is minimized (that is, reduced). For example, one active ingredient may be enteric coated. By enteric coating one of the active ingredients, it is possible not only to minimize the contact between the combined active ingredients, but also, it is possible to control the release of one of these components in the gastrointestinal tract such that one of these components is not released in the stomach but rather is released in the intestines. One of the active ingredients may also be coated with a material which effects a sustained-release throughout the gastrointestinal tract and also serves to minimize physical contact between the combined active ingredients. Furthermore, the sustained-released component can be additionally enteric coated such that the release of this component occurs only in the intestine. Still another approach would involve the formulation of a combination product in which the one component is coated with a sustained and/or enteric release polymer, and the other component is also coated with a polymer such as a lowviscosity grade of hydroxypropyl methylcellulose (HPMC) or other appropriate materials as known in the art, in order to further separate the active components. The polymer coating serves to form an additional barrier to interaction with the other component.

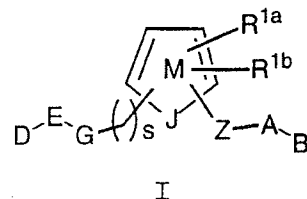
These as well as other ways of minimizing contact between the components of combination products of the present invention, whether administered in a single dosage form or administered in separate forms but at the same time by the same manner, will be readily apparent to those skilled in the art, once armed with the present disclosure.

Obviously, numerous modifications and variations of the present invention are possible in light of the above teachings. It is therefore to be understood that within the

scope of the appended claims, the invention may be practiced otherwise than as specifically described herein.

WHAT IS CLAIMED AS NEW AND DESIRED TO BE SECURED BY
LETTER PATENT OF UNITED STATES IS:

1. A compound of formula I:



or a stereoisomer or pharmaceutically acceptable salt thereof,
wherein;

ring M contains, in addition to J, 0-2 N atoms;

J is O or S;

D is selected from CN, C(=NR⁸)NR⁷R⁹, NHC(=NR⁸)NR⁷R⁹,
NR⁸CH(=NR⁷), C(O)NR⁷R⁸, and (CR⁸R⁹)_tNR⁷R⁸, provided that D
is substituted meta or para to G on E;

E is selected from phenyl, pyridyl, pyrimidyl, pyrazinyl,
pyridazinyl, and piperidinyl substituted with 1 R;

alternatively, D-E-G together represent pyridyl substituted
with 1 R;

R is selected from H, halogen, (CH₂)_tOR³, C₁₋₄ alkyl, OCF₃, and
CF₃;

G is absent or is selected from NHCH₂, OCH₂, and SCH₂;

Z is selected from a C₁₋₄ alkylene, (CH₂)_rO(CH₂)_r,
(CH₂)_rNR³(CH₂)_r, (CH₂)_rC(O)(CH₂)_r, (CH₂)_rC(O)O(CH₂)_r,
(CH₂)_rOC(O)(CH₂)_r, (CH₂)_rC(O)NR³(CH₂)_r,
(CH₂)_rNR³C(O)(CH₂)_r, (CH₂)_rOC(O)O(CH₂)_r,
(CH₂)_rOC(O)NR³(CH₂)_r, (CH₂)_rNR³C(O)O(CH₂)_r,
(CH₂)_rNR³C(O)NR³(CH₂)_r, (CH₂)_rS(O)_p(CH₂)_r,
(CH₂)_rSO₂NR³(CH₂)_r, (CH₂)_rNR³SO₂(CH₂)_r, and

$(\text{CH}_2)_r\text{NR}^3\text{SO}_2\text{NR}^3(\text{CH}_2)_r$, provided that Z does not form a N-N, N-O, N-S, NCH_2N , NCH_2O , or NCH_2S bond with ring M or group A;

R^{1a} and R^{1b} are independently absent or selected from $-(\text{CH}_2)_r\text{R}^{1'}$, $\text{NCH}_2\text{R}^{1''}$, $\text{OCH}_2\text{R}^{1''}$, $\text{SCH}_2\text{R}^{1''}$, $\text{N}(\text{CH}_2)_2(\text{CH}_2)_t\text{R}^{1'}$, $\text{O}(\text{CH}_2)_2(\text{CH}_2)_t\text{R}^{1'}$, and $\text{S}(\text{CH}_2)_2(\text{CH}_2)_t\text{R}^{1'}$, or combined to form a 5-8 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R^4 and which contains from 0-2 heteroatoms selected from the group consisting of N, O, and S;

$\text{R}^{1'}$ is selected from H, C_{1-3} alkyl, halo, $(\text{CF}_2)_r\text{CF}_3$, OR^2 , NR^2R^{2a} , $\text{C}(\text{O})\text{R}^{2c}$, $\text{OC}(\text{O})\text{R}^2$, $(\text{CF}_2)_r\text{CO}_2\text{R}^{2c}$, $\text{S}(\text{O})_p\text{R}^{2b}$, $\text{NR}^2(\text{CH}_2)_r\text{OR}^2$, $\text{NR}^2\text{C}(\text{O})\text{R}^{2b}$, $\text{NR}^2\text{C}(\text{O})\text{NHR}^{2b}$, $\text{NR}^2\text{C}(\text{O})_2\text{R}^{2a}$, $\text{OC}(\text{O})\text{NR}^{2b}$, $\text{C}(\text{O})\text{NR}^2\text{R}^{2a}$, $\text{SO}_2\text{NR}^2\text{R}^{2a}$, $\text{NR}^2\text{SO}_2\text{R}^{2b}$, C_{3-6} carbocyclic residue substituted with 0-2 R^4 , and 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^4 ;

$\text{R}^{1''}$ is selected from H, $\text{C}(\text{O})\text{R}^{2b}$, $\text{C}(\text{O})\text{NR}^2\text{R}^{2a}$, $\text{S}(\text{O})\text{R}^{2b}$, $\text{S}(\text{O})_2\text{R}^{2b}$, and $\text{SO}_2\text{NR}^2\text{R}^{2a}$;

R^2 , at each occurrence, is selected from H, CF_3 , C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b} , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b} ;

R^{2a} , at each occurrence, is selected from H, CF_3 , C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b} , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b} ;

R^{2b} , at each occurrence, is selected from CF_3 , C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with

0-2 R^{4b} , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b} ;

R^{2c} , at each occurrence, is selected from CF_3 , OH, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b} , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b} ;

alternatively, R^2 and R^{2a} combine to form a 5 or 6 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R^{4b} which contains from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R^3 , at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

R^{3a} , at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

A is selected from:

C_{3-10} carbocyclic residue substituted with 0-2 R^4 , and 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^4 ;

B is selected from:

X-Y, NR^2R^{2a} , $C(=NR^2)NR^2R^{2a}$, $NR^2C(=NR^2)NR^2R^{2a}$, C_{3-10} carbocyclic residue substituted with 0-2 R^{4a} , and 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4a} ;

X is selected from C_{1-4} alkylene, $-CR^2(CR^2R^{2b})(CH_2)_t-$, $-C(O)-$, $-C(=NR)-$, $-CR^2(NR^1R^2)-$, $-CR^2(OR^2)-$, $-CR^2(SR^2)-$, $-C(O)CR^2R^{2a}-$, $-CR^2R^{2a}C(O)-$, $-S(O)_p-$, $-S(O)_pCR^2R^{2a}-$,

-CR²R^{2a}S(O)_p-, -S(O)₂NR²-, -NR²S(O)₂-, -NR²S(O)₂CR²R^{2a}-,
 -CR²R^{2a}S(O)₂NR²-, -NR²S(O)₂NR²-, -C(O)NR²-, -NR²C(O)-,
 -C(O)NR²CR²R^{2a}-, -NR²C(O)CR²R^{2a}-, -CR²R^{2a}C(O)NR²-,
 -CR²R^{2a}NR²C(O)-, -NR²C(O)O-, -OC(O)NR²-, -NR²C(O)NR²-,
 -NR²-, -NR²CR²R^{2a}-, -CR²R^{2a}NR²-, O, -CR²R^{2a}O-, and
 -OCR²R^{2a}-;

Y is selected from:

(CH₂)_rNR²R^{2a}, provided that X-Y do not form a N-N, O-N, or S-N bond,

C₃₋₁₀ carbocyclic residue substituted with 0-2 R^{4a}, and

5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4a};

R⁴, at each occurrence, is selected from =O, (CH₂)_rOR², halo, C₁₋₄ alkyl, -CN, NO₂, (CH₂)_rNR²R^{2a}, (CH₂)_rC(O)R^{2b}, NR²C(O)R^{2b}, C(O)NR²R^{2a}, NR²C(O)NR²R^{2a}, CH(=NR²)NR²R^{2a}, NHC(=NR²)NR²R^{2a}, SO₂NR²R^{2a}, NR²SO₂NR²R^{2a}, NR²SO₂-C₁₋₄ alkyl, NR²SO₂R⁵, S(O)_pR⁵, (CF₂)_rCF₃, NCH₂R^{1''}, OCH₂R^{1''}, SCH₂R^{1''}, N(CH₂)₂(CH₂)_tR^{1'}, O(CH₂)₂(CH₂)_tR^{1'}, and S(CH₂)₂(CH₂)_tR^{1'},

alternatively, one R⁴ is a 5-6 membered aromatic heterocycle containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

R^{4a}, at each occurrence, is selected from =O, (CH₂)_rOR², halo, C₁₋₄ alkyl, -CN, NO₂, (CH₂)_rNR²R^{2a}, (CH₂)_rC(O)R^{2b}, NR²C(O)R^{2b}, C(O)NR²R^{2a}, NR²C(O)NR²R^{2a}, CH(=NR²)NR²R^{2a}, NHC(=NR²)NR²R^{2a}, SO₂NR²R^{2a}, NR²SO₂NR²R^{2a}, NR²SO₂-C₁₋₄ alkyl, NR²SO₂R⁵, S(O)_pR⁵, and (CF₂)_rCF₃;

alternatively, one R^{4a} is a 5-6 membered aromatic heterocycle containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-1 R⁵;

R^{4b}, at each occurrence, is selected from =O, (CH₂)_rOR³, halo, C₁₋₄ alkyl, -CN, NO₂, (CH₂)_rNR³R^{3a}, (CH₂)_rC(O)R³,

$\text{NR}^3\text{C}(\text{O})\text{R}^{3a}$, $\text{C}(\text{O})\text{NR}^3\text{R}^{3a}$, $\text{NR}^3\text{C}(\text{O})\text{NR}^3\text{R}^{3a}$, $\text{CH}(=\text{NR}^3)\text{NR}^3\text{R}^{3a}$, $\text{NH}^3\text{C}(=\text{NR}^3)\text{NR}^3\text{R}^{3a}$, $\text{SO}_2\text{NR}^3\text{R}^{3a}$, $\text{NR}^3\text{SO}_2\text{NR}^3\text{R}^{3a}$, $\text{NR}^3\text{SO}_2\text{-C}_{1-4}$ alkyl, $\text{NR}^3\text{SO}_2\text{CF}_3$, $\text{NR}^3\text{SO}_2\text{-phenyl}$, $\text{S}(\text{O})_p\text{CF}_3$, $\text{S}(\text{O})_p\text{-C}_{1-4}$ alkyl, $\text{S}(\text{O})_p\text{-phenyl}$, and $(\text{CF}_2)_r\text{CF}_3$;

R^5 , at each occurrence, is selected from CF_3 , C_{1-6} alkyl, phenyl substituted with 0-2 R^6 , and benzyl substituted with 0-2 R^6 ;

R^6 , at each occurrence, is selected from H, OH, $(\text{CH}_2)_r\text{OR}^2$, halo, C_{1-4} alkyl, CN, NO_2 , $(\text{CH}_2)_r\text{NR}^2\text{R}^{2a}$, $(\text{CH}_2)_r\text{C}(\text{O})\text{R}^{2b}$, $\text{NR}^2\text{C}(\text{O})\text{R}^{2b}$, $\text{NR}^2\text{C}(\text{O})\text{NR}^2\text{R}^{2a}$, $\text{CH}(=\text{NH})\text{NH}_2$, $\text{NHC}(=\text{NH})\text{NH}_2$, $\text{SO}_2\text{NR}^2\text{R}^{2a}$, $\text{NR}^2\text{SO}_2\text{NR}^2\text{R}^{2a}$, and $\text{NR}^2\text{SO}_2\text{C}_{1-4}$ alkyl;

R^7 , at each occurrence, is selected from H, OH, C_{1-6} alkyl, C_{1-6} alkylcarbonyl, C_{1-6} alkoxy, C_{1-4} alkoxycarbonyl, $(\text{CH}_2)_n\text{-phenyl}$, C_{6-10} aryloxy, C_{6-10} aryloxycarbonyl, C_{6-10} arylmethylcarbonyl, C_{1-4} alkylcarbonyloxy C_{1-4} alkoxycarbonyl, C_{6-10} arylcarbonyloxy C_{1-4} alkoxycarbonyl, C_{1-6} alkylaminocarbonyl, phenylaminocarbonyl, and phenyl C_{1-4} alkoxycarbonyl;

R^8 , at each occurrence, is selected from H, C_{1-6} alkyl and $(\text{CH}_2)_n\text{-phenyl}$;

alternatively, R^7 and R^8 combine to form a 5 or 6 membered saturated, ring which contains from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R^9 , at each occurrence, is selected from H, C_{1-6} alkyl and $(\text{CH}_2)_n\text{-phenyl}$;

n , at each occurrence, is selected from 0, 1, 2, and 3;

m , at each occurrence, is selected from 0, 1, and 2;

p , at each occurrence, is selected from 0, 1, and 2;

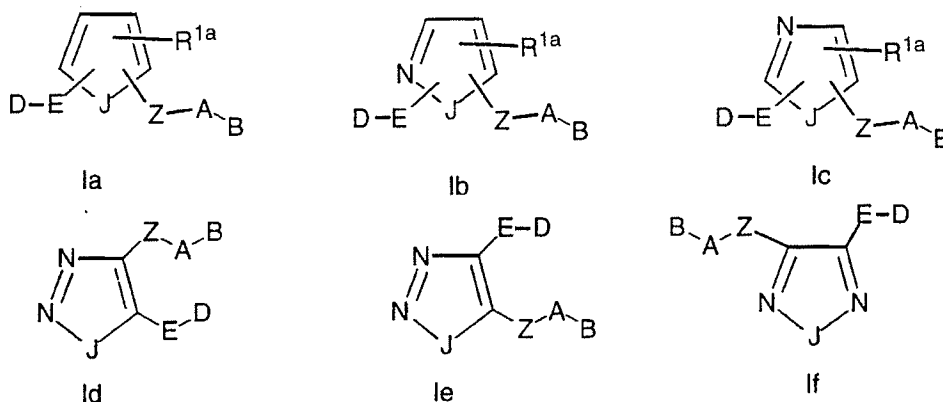
r, at each occurrence, is selected from 0, 1, 2, and 3;

s, at each occurrence, is selected from 0, 1, and 2; and,

t, at each occurrence, is selected from 0 and 1;

provided that D-E-G-(CH₂)_s- and -Z-A-B are not both benzamidines.

2. A compound according to Claim 1, wherein the compound is of formulae Ia-If:



wherein, groups D-E- and -Z-A-B are attached to adjacent atoms on the ring;

Z is selected from a CH₂O, OCH₂, CH₂NH, NHCH₂, C(O), CH₂C(O), C(O)CH₂, NHC(O), C(O)NH, CH₂S(O)₂, S(O)₂(CH₂), SO₂NH, and NHSO₂, provided that Z does not form a N-N, N-O, NCH₂N, or NCH₂O bond with ring M or group A;

A is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R⁴;
 phenyl, piperidinyl, piperazinyl, pyridyl,
 pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl,
 pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl,
 isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl,
 thiadiazolyl, triazolyl, 1,2,3-oxadiazolyl,

1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl,
 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl,
 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl,
 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl,
 benzofuranyl, benzothiofuranyl, indolyl, benzimidazolyl,
 benzoxazolyl, benzthiazolyl, indazolyl, benzisoxazolyl,
 benzisothiazolyl, and isoindazolyl;

B is selected from: Y, X-Y, NR^2R^{2a} , $\text{C}(=\text{NR}^2)\text{NR}^2\text{R}^{2a}$, and
 $\text{NR}^2\text{C}(=\text{NR}^2)\text{NR}^2\text{R}^{2a}$;

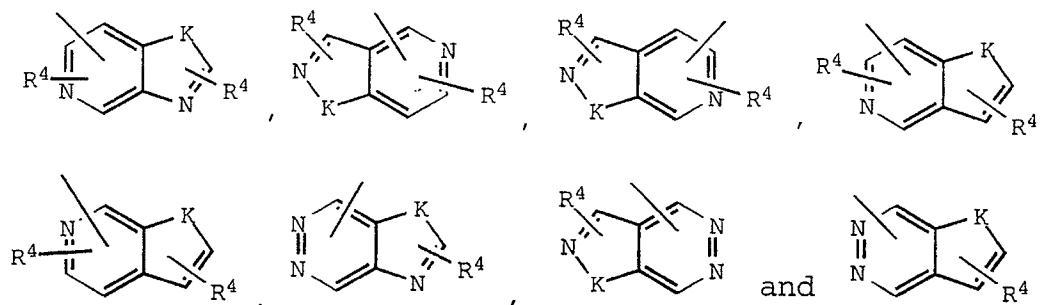
X is selected from C_{1-4} alkylene, $-\text{C}(\text{O})-$, $-\text{C}(=\text{NR})-$,
 $-\text{CR}^2(\text{NR}^2\text{R}^{2a})-$, $-\text{C}(\text{O})\text{CR}^2\text{R}^{2a}-$, $-\text{CR}^2\text{R}^{2a}\text{C}(\text{O})-$, $-\text{C}(\text{O})\text{NR}^2-$,
 $-\text{NR}^2\text{C}(\text{O})-$, $-\text{C}(\text{O})\text{NR}^2\text{CR}^2\text{R}^{2a}-$, $-\text{NR}^2\text{C}(\text{O})\text{CR}^2\text{R}^{2a}-$,
 $-\text{CR}^2\text{R}^{2a}\text{C}(\text{O})\text{NR}^2-$, $-\text{CR}^2\text{R}^{2a}\text{NR}^2\text{C}(\text{O})-$, $-\text{NR}^2\text{C}(\text{O})\text{NR}^2-$, $-\text{NR}^2-$,
 $-\text{NR}^2\text{CR}^2\text{R}^{2a}-$, $-\text{CR}^2\text{R}^{2a}\text{NR}^2-$, O, $-\text{CR}^2\text{R}^{2a}\text{O}-$, and $-\text{OCR}^2\text{R}^{2a}-$;

Y is NR^2R^{2a} , provided that X-Y do not form a N-N or O-N bond;

alternatively, Y is selected from one of the following
 carbocyclic and heterocyclic systems which are
 substituted with 0-2 R^{4a} ;

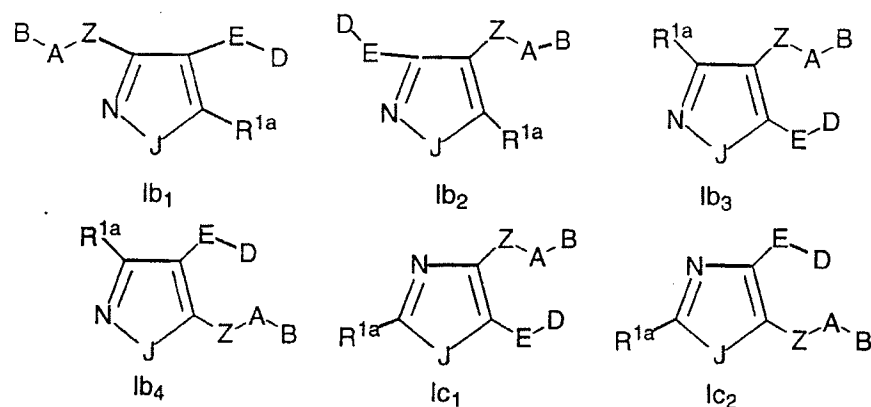
cyclopropyl, cyclopentyl, cyclohexyl, phenyl,
 piperidiny, piperaziny, pyridyl, pyrimidyl, furanyl,
 morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl,
 oxazolyl, isoxazolyl, isoxazolinyl, thiazolyl,
 isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl,
 thiadiazolyl, triazolyl, 1,2,3-oxadiazolyl,
 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl,
 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl,
 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl,
 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl,
 benzofuranyl, benzothiofuranyl, indolyl, benzimidazolyl,
 benzoxazolyl, benzthiazolyl, indazolyl, benzisoxazolyl,
 benzisothiazolyl, and isoindazolyl;

alternatively, Y is selected from the following bicyclic
 heteroaryl ring systems:



K is selected from O, S, NH, and N.

3. A compound according to Claim 2, wherein the compound is of formulae Ib and Ic:



wherein;

J is O or S; and,

Z is selected from a C(O), CH₂C(O), C(O)CH₂, NHC(O), C(O)NH, C(O)N(CH₃), CH₂S(O)₂, S(O)₂(CH₂), SO₂NH, and NHSO₂, provided that Z does not form a N-N or NCH₂N bond with ring M or group A.

4. A compound according to Claim 3, wherein the compound is of formulae Ib and Ic:

E is phenyl substituted with R or 2-pyridyl substituted with R;

D is selected from NH_2 , $\text{C}(\text{O})\text{NH}_2$, $\text{C}(=\text{NH})\text{NH}_2$, CH_2NH_2 , CH_2NHCH_3 , $\text{CH}(\text{CH}_3)\text{NH}_2$, and $\text{C}(\text{CH}_3)_2\text{NH}_2$, provided that D is substituted meta or para to ring M on E; and,

R is selected from H, OCH_3 , Cl, and F.

5. A compound according to Claim 4, wherein the compound is of formulae Ib and Ic:

D-E is selected from 3-aminophenyl, 3-amidinophenyl, 3-aminomethylphenyl, 3-aminocarbonylphenyl, 3-(methylaminomethyl)phenyl, 3-(1-aminoethyl)phenyl, 3-(2-amino-2-propyl)phenyl, 4-chloro-3-aminophenyl, 4-chloro-3-amidinophenyl, 4-chloro-3-aminomethylphenyl, 4-chloro-3-(methylaminomethyl)phenyl, 4-fluoro-3-aminophenyl, 4-fluoro-3-amidinophenyl, 4-fluoro-3-aminomethylphenyl, 4-fluoro-3-(methylaminomethyl)phenyl, 6-aminopyrid-2-yl, 6-amidinopyrid-2-yl, 6-aminomethylpyrid-2-yl, 6-aminocarbonylpyrid-2-yl, 6-(methylaminomethyl)pyrid-2-yl, 6-(1-aminoethyl)pyrid-2-yl, and 6-(2-amino-2-propyl)pyrid-2-yl.

6. A compound according to Claim 3, wherein the compound is of formulae Ib and Ic:

Z is $\text{C}(\text{O})\text{CH}_2$ and CONH , provided that Z does not form a N-N bond with group A;

A is selected from phenyl, pyridyl, and pyrimidyl, and is substituted with 0-2 R^4 ; and,

B is selected from X-Y, phenyl, pyrrolidino, morpholino, 1,2,3-triazolyl, and imidazolyl, and is substituted with 0-1 R^{4a};

R⁴, at each occurrence, is selected from OH, (CH₂)_rOR², halo, C₁₋₄ alkyl, (CH₂)_rNR²R^{2a}, and (CF₂)_rCF₃;

R^{4a} is selected from C₁₋₄ alkyl, CF₃, S(O)_pR⁵, SO₂NR²R^{2a}, and 1-CF₃-tetrazol-2-yl;

R⁵, at each occurrence, is selected from CF₃, C₁₋₆ alkyl, phenyl, and benzyl;

X is CH₂ or C(O); and,

Y is selected from pyrrolidino and morpholino.

7. A compound according to Claim 6, wherein the compound is of formulae Ib and Ic:

A is selected from the group: phenyl, 2-pyridyl, 3-pyridyl, 2-pyrimidyl, 2-Cl-phenyl, 3-Cl-phenyl, 2-F-phenyl, 3-F-phenyl, 2-methylphenyl, 2-aminophenyl, and 2-methoxyphenyl; and,

B is selected from the group: 2-CF₃-phenyl, 2-(aminosulfonyl)phenyl, 2-(methylaminosulfonyl)phenyl, 2-(dimethylaminosulfonyl)phenyl, 1-pyrrolidinocarbonyl, 2-(methylsulfonyl)phenyl, 4-morpholino, 2-(1'-CF₃-tetrazol-2-yl)phenyl, 4-morpholinocarbonyl, 2-methyl-1-imidazolyl, 5-methyl-1-imidazolyl, 2-methylsulfonyl-1-imidazolyl and, 5-methyl-1,2,3-triazolyl.

8. A compound according to Claim 3, wherein the compound is of formulae Ib and Ic:

E is phenyl substituted with R or 2-pyridyl substituted with R;

D is selected from NH_2 , C(O)NH_2 , C(=NH)NH_2 , CH_2NH_2 , CH_2NHCH_3 , $\text{CH(CH}_3\text{)NH}_2$, and $\text{C(CH}_3\text{)}_2\text{NH}_2$, provided that D is substituted meta or para to ring M on E; and,

R is selected from H, OCH_3 , Cl, and F;

Z is C(O)CH_2 and CONH , provided that Z does not form a N-N bond with group A;

A is selected from phenyl, pyridyl, and pyrimidyl, and is substituted with 0-2 R^4 ; and,

B is selected from X-Y, phenyl, pyrrolidino, morpholino, 1,2,3-triazolyl, and imidazolyl, and is substituted with 0-1 R^{4a} ;

R^4 , at each occurrence, is selected from OH, $(\text{CH}_2)_r\text{OR}^2$, halo, C_{1-4} alkyl, $(\text{CH}_2)_r\text{NR}^2\text{R}^{2a}$, and $(\text{CF}_2)_r\text{CF}_3$;

R^{4a} is selected from C_{1-4} alkyl, CF_3 , $\text{S(O)}_p\text{R}^5$, $\text{SO}_2\text{NR}^2\text{R}^{2a}$, and 1- CF_3 -tetrazol-2-yl;

R^5 , at each occurrence, is selected from CF_3 , C_{1-6} alkyl, phenyl, and benzyl;

X is CH_2 or C(O) ; and,

Y is selected from pyrrolidino and morpholino.

9. A compound according to Claim 8, wherein the compound is of formulae Ib and Ic:

D-E is selected from 3-aminophenyl, 3-amidinophenyl, 3-aminomethylphenyl, 3-aminocarbonylphenyl, 3-

(methylaminomethyl)phenyl, 3-(1-aminoethyl)phenyl, 3-(2-amino-2-propyl)phenyl, 4-chloro-3-aminophenyl, 4-chloro-3-amidinophenyl, 4-chloro-3-aminomethylphenyl, 4-chloro-3-(methylaminomethyl)phenyl, 4-fluoro-3-aminophenyl, 4-fluoro-3-amidinophenyl, 4-fluoro-3-aminomethylphenyl, 4-fluoro-3-(methylaminomethyl)phenyl, 6-aminopyrid-2-yl, 6-amidinopyrid-2-yl, 6-aminomethylpyrid-2-yl, 6-aminocarbonylpyrid-2-yl, 6-(methylaminomethyl)pyrid-2-yl, 6-(1-aminoethyl)pyrid-2-yl, 6-(2-amino-2-propyl)pyrid-2-yl;

A is selected from the group: phenyl, 2-pyridyl, 3-pyridyl, 2-pyrimidyl, 2-Cl-phenyl, 3-Cl-phenyl, 2-F-phenyl, 3-F-phenyl, 2-methylphenyl, 2-aminophenyl, and 2-methoxyphenyl; and,

B is selected from the group: 2-CF₃-phenyl, 2-(aminosulfonyl)phenyl, 2-(methylaminosulfonyl)phenyl, 2-(dimethylaminosulfonyl)phenyl, 1-pyrrolidinocarbonyl, 2-(methylsulfonyl)phenyl, 4-morpholino, 2-(1'-CF₃-tetrazol-2-yl)phenyl, 4-morpholinocarbonyl, 2-methyl-1-imidazolyl, 5-methyl-1-imidazolyl, 2-methylsulfonyl-1-imidazolyl and, 5-methyl-1,2,3-triazolyl.

10. A compound according to Claim 9, wherein the compound is of formula Ib₁.

11. A compound according to Claim 9, wherein the compound is of formula Ib₂.

12. A compound according to Claim 9, wherein the compound is of formula Ib₃.

13. A compound according to Claim 9, wherein the compound is of formula Ib₄.

14. A compound according to Claim 9, wherein the compound is of formula Ic₁.

15. A compound according to Claim 9, wherein the compound is of formula Ic₂.

16. A compound according to Claim 3, wherein the compound is of formulae Ib and Ic:

D is selected from C(=NR⁸)NR⁷R⁹, C(O)NR⁷R⁸, NR⁷R⁸, and CH₂NR⁷R⁸, provided that D is substituted meta or para to ring M on E;

E is phenyl substituted with R or pyridyl substituted with R;

R is selected from H, Cl, F, OR³, CH₃, CH₂CH₃, OCF₃, and CF₃;

Z is selected from C(O), CH₂C(O), C(O)CH₂, NHC(O), and C(O)NH, provided that Z does not form a N-N bond with ring M or group A;

R^{1a} and R^{1b} are independently absent or selected from -(CH₂)_r-R^{1'}, NCH₂R^{1''}, OCH₂R^{1''}, SCH₂R^{1''}, N(CH₂)₂(CH₂)_tR^{1'}, O(CH₂)₂(CH₂)_tR^{1'}, and S(CH₂)₂(CH₂)_tR^{1'}, or combined to form a 5-8 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R⁴ and which contains from 0-2 heteroatoms selected from the group consisting of N, O, and S;

R^{1'}, at each occurrence, is selected from H, C₁₋₃ alkyl, halo, (CF₂)_rCF₃, OR², NR²R^{2a}, C(O)R^{2c}, (CF₂)_rCO₂R^{2c}, S(O)_pR^{2b},

$\text{NR}^2(\text{CH}_2)_r\text{OR}^2$, $\text{NR}^2\text{C}(\text{O})\text{R}^{2b}$, $\text{NR}^2\text{C}(\text{O})_2\text{R}^{2b}$, $\text{C}(\text{O})\text{NR}^2\text{R}^{2a}$,
 $\text{SO}_2\text{NR}^2\text{R}^{2a}$, and $\text{NR}^2\text{SO}_2\text{R}^{2b}$;

A is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^4 ;
 phenyl, piperidinyl, piperazinyl, pyridyl,
 pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl,
 pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl,
 isothiazolyl, pyrazolyl, and imidazolyl;

B is selected from: Y, X-Y, NR^2R^{2a} , $\text{C}(=\text{NR}^2)\text{NR}^2\text{R}^{2a}$, and
 $\text{NR}^2\text{C}(=\text{NR}^2)\text{NR}^2\text{R}^{2a}$;

X is selected from CH_2 , $-\text{CR}^2(\text{CR}^2\text{R}^{2b})(\text{CH}_2)_t-$, $-\text{C}(\text{O})-$, $-\text{C}(=\text{NR})-$,
 $-\text{CH}(\text{NR}^2\text{R}^{2a})-$, $-\text{C}(\text{O})\text{NR}^2-$, $-\text{NR}^2\text{C}(\text{O})-$, $-\text{NR}^2\text{C}(\text{O})\text{NR}^2-$, $-\text{NR}^2-$,
 and O;

Y is NR^2R^{2a} , provided that X-Y do not form a N-N or O-N bond;

alternatively, Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^{4a} ;

phenyl, piperidinyl, piperazinyl, pyridyl,
 pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl,
 pyrrolidinyl, oxazolyl, isoxazolyl, isoxazoliny, thiazolyl,
 isothiazolyl, pyrazolyl, imidazolyl,
 oxadiazolyl, thiadiazolyl, triazolyl, 1,2,3-oxadiazolyl,
 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl,
 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl,
 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl,
 1,2,4-triazolyl, 1,2,5-triazolyl, and 1,3,4-triazolyl;

R^4 , at each occurrence, is selected from =O, OH, Cl, F, C_{1-4} alkyl,
 $(\text{CH}_2)_r\text{NR}^2\text{R}^{2a}$, $(\text{CH}_2)_r\text{C}(\text{O})\text{R}^{2b}$, $\text{NR}^2\text{C}(\text{O})\text{R}^{2b}$, $\text{C}(\text{O})\text{NR}^2\text{R}^{2a}$,
 $\text{CH}(=\text{NH})\text{NH}_2$, $\text{NHC}(=\text{NH})\text{NH}_2$, $\text{SO}_2\text{NR}^2\text{R}^{2a}$, $\text{NR}^2\text{SO}_2\text{-C}_{1-4}$ alkyl,
 $\text{NR}^2\text{SO}_2\text{R}^5$, $\text{S}(\text{O})_p\text{R}^5$, and $(\text{CF}_2)_r\text{CF}_3$;

R^{4a}, at each occurrence, is selected from =O, OH, Cl, F, C₁₋₄ alkyl, (CH₂)_rNR²R^{2a}, (CH₂)_rC(O)R^{2b}, NR²C(O)R^{2b}, C(O)NR²R^{2a}, CH(=NH)NH₂, NHC(=NH)NH₂, SO₂NR²R^{2a}, NR²SO₂-C₁₋₄ alkyl, NR²SO₂R⁵, S(O)_pR⁵, (CF₂)_rCF₃, and 1-CF₃-tetrazol-2-yl;

R⁵, at each occurrence, is selected from CF₃, C₁₋₆ alkyl, phenyl substituted with 0-2 R⁶, and benzyl substituted with 0-2 R⁶;

R⁶, at each occurrence, is selected from H, =O, OH, OR², Cl, F, CH₃, CN, NO₂, (CH₂)_rNR²R^{2a}, (CH₂)_rC(O)R^{2b}, NR²C(O)R^{2b}, CH(=NH)NH₂, NHC(=NH)NH₂, and SO₂NR²R^{2a};

R⁷, at each occurrence, is selected from H, OH, C₁₋₆ alkyl, C₁₋₆ alkylcarbonyl, C₁₋₆ alkoxy, C₁₋₄ alkoxycarbonyl, benzyl, C₆₋₁₀ aryloxy, C₆₋₁₀ aryloxycarbonyl, C₆₋₁₀ arylmethylcarbonyl, C₁₋₄ alkylcarbonyloxy C₁₋₄ alkoxycarbonyl, C₆₋₁₀ arylcarbonyloxy C₁₋₄ alkoxycarbonyl, C₁₋₆ alkylaminocarbonyl, phenylaminocarbonyl, and phenyl C₁₋₄ alkoxycarbonyl;

R⁸, at each occurrence, is selected from H, C₁₋₆ alkyl and benzyl; and

alternatively, R⁷ and R⁸ combine to form a morpholino group; and,

R⁹, at each occurrence, is selected from H, C₁₋₆ alkyl and benzyl.

17. A compound according to Claim 16, wherein the compound is of formulae Ib and Ic:

E is phenyl substituted with R or 2-pyridyl substituted with R;

R is selected from H, Cl, F, OCH₃, CH₃, OCF₃, and CF₃;

Z is selected from a C(O)CH₂ and C(O)NH, provided that Z does not form a N-N bond with group A;

R^{1a} is selected from H, CH₃, CH₂CH₃, Cl, F, CF₃, OCH₃, NR²R^{2a}, S(O)_pR^{2b}, CH₂S(O)_pR^{2b}, CH₂NR²S(O)_pR^{2b}, C(O)R^{2c}, CH₂C(O)R^{2c}, C(O)NR²R^{2a}, and SO₂NR²R^{2a};

R^{1b} is selected from H, CH₃, CH₂CH₃, Cl, F, CF₃, OCH₃, NR²R^{2a}, S(O)_pR^{2b}, CH₂S(O)_pR^{2b}, CH₂NR²S(O)_pR^{2b}, C(O)R^{2c}, CH₂C(O)R^{2c}, C(O)NR²R^{2a}, and SO₂NR²R^{2a};

A is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R⁴;
phenyl, pyridyl, pyrimidyl, furanyl, thiophenyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, and imidazolyl;

B is selected from: Y and X-Y;

X is selected from CH₂, -CR²(CR²R^{2b})-, -C(O)-, -C(=NR)-, -CH(NR²R^{2a})-, -C(O)NR²-, -NR²C(O)-, -NR²C(O)NR²-, -NR²-, and O;

Y is NR²R^{2a}, provided that X-Y do not form a N-N or O-N bond;

alternatively, Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^{4a};

phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, isoxazolinyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, and 1,3,4-triazolyl;

R^2 , at each occurrence, is selected from H, CF_3 , CH_3 , benzyl, and phenyl;

R^{2a} , at each occurrence, is selected from H, CF_3 , CH_3 , benzyl, and phenyl;

R^{2b} , at each occurrence, is selected from CF_3 , OCH_3 , CH_3 , benzyl, and phenyl;

R^{2c} , at each occurrence, is selected from CF_3 , OH, OCH_3 , CH_3 , benzyl, and phenyl;

alternatively, R^2 and R^{2a} combine to form a 5 or 6 membered saturated, partially unsaturated, or unsaturated ring which contains from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R^3 , at each occurrence, is selected from H, CH_3 , CH_2CH_3 , and phenyl;

R^{3a} , at each occurrence, is selected from H, CH_3 , CH_2CH_3 , and phenyl;

R^4 , at each occurrence, is selected from OH, Cl, F, CH_3 , CH_2CH_3 , NR^2R^{2a} , $CH_2NR^2R^{2a}$, $C(O)R^{2b}$, $NR^2C(O)R^{2b}$, $C(O)NR^2R^{2a}$, and CF_3 ;

R^{4a} , at each occurrence, is selected from OH, Cl, F, CH_3 , CH_2CH_3 , NR^2R^{2a} , $CH_2NR^2R^{2a}$, $C(O)R^{2b}$, $C(O)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, $S(O)_pR^5$, CF_3 , and 1- CF_3 -tetrazol-2-yl;

R^5 , at each occurrence, is selected from CF_3 , C_{1-6} alkyl, phenyl substituted with 0-2 R^6 , and benzyl substituted with 1 R^6 ;

R^6 , at each occurrence, is selected from H, OH, OCH_3 , Cl, F, CH_3 , CN, NO_2 , NR^2R^{2a} , $CH_2NR^2R^{2a}$, and $SO_2NR^2R^{2a}$;

R⁷, at each occurrence, is selected from H, OH, C₁₋₃ alkyl, C₁₋₃ alkylcarbonyl, C₁₋₃ alkoxy, C₁₋₄ alkoxy carbonyl, benzyl, phenoxy, phenoxy carbonyl, benzyl carbonyl, C₁₋₄ alkylcarbonyloxy C₁₋₄ alkoxy carbonyl, phenylcarbonyloxy C₁₋₄ alkoxy carbonyl, C₁₋₆ alkylaminocarbonyl, phenylaminocarbonyl, and phenyl C₁₋₄ alkoxy carbonyl;

R⁸, at each occurrence, is selected from H, CH₃, and benzyl; and,

alternatively, R⁷ and R⁸ combine to form a morpholino group;

R⁹, at each occurrence, is selected from H, CH₃, and benzyl.

18. A compound according to Claim 17, wherein the compound is of formulae Ib and Ic:

R^{1a} is absent or is selected from H, CH₃, CH₂CH₃, Cl, F, CF₃, OCH₃, NR²R^{2a}, S(O)_pR^{2b}, C(O)NR²R^{2a}, CH₂S(O)_pR^{2b}, CH₂NR²S(O)_pR^{2b}, C(O)R^{2c}, CH₂C(O)R^{2c}, and SO₂NR²R^{2a};

R^{1b} is absent or is selected from H, CH₃, CH₂CH₃, Cl, F, CF₃, OCH₃, NR²R^{2a}, S(O)_pR^{2b}, C(O)NR²R^{2a}, CH₂S(O)_pR^{2b}, CH₂NR²S(O)_pR^{2b}, C(O)R^{2b}, CH₂C(O)R^{2b}, and SO₂NR²R^{2a};

A is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R⁴; phenyl, pyridyl, and pyrimidyl;

B is selected from: Y and X-Y;

X is selected from -C(O)- and O;

Y is NR²R^{2a}, provided that X-Y do not form a O-N bond;

alternatively, Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^{4a};

phenyl, piperazinyl, pyridyl, pyrimidyl, morpholinyl, pyrrolidinyl, imidazolyl, and 1,2,3-triazolyl;

R², at each occurrence, is selected from H, CF₃, CH₃, benzyl, and phenyl;

R^{2a}, at each occurrence, is selected from H, CF₃, CH₃, benzyl, and phenyl;

R^{2b}, at each occurrence, is selected from CF₃, OCH₃, CH₃, benzyl, and phenyl;

R^{2c}, at each occurrence, is selected from CF₃, OH, OCH₃, CH₃, benzyl, and phenyl;

alternatively, R² and R^{2a} combine to form a ring system selected from pyrrolidinyl, piperazinyl and morpholino;

R⁴, at each occurrence, is selected from Cl, F, CH₃, NR²R^{2a}, and CF₃;

R^{4a}, at each occurrence, is selected from Cl, F, CH₃, SO₂NR²R^{2a}, S(O)_pR⁵, and CF₃; and,

R⁵, at each occurrence, is selected from CF₃ and CH₃.

19. A compound according to Claim 1, wherein the compound is selected from the group:

3-(3-amidinophenyl)-4-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]-5-(hydroxymethyl)isoxazole;

- 3-(3-amidinophenyl)-4-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]isoxazole;
- 3-(3-amidinophenyl)-4-[(2'-methylsulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]isoxazole;
- 3-(3-amidinophenyl)-4-[5-(2-aminosulfonyl)phenylpyrid-2-yl)aminocarbonyl]-5-(methoxymethyl)isoxazole;
- 3-(3-amidinophenyl)-4-[(2'-trifluoromethyl-[1,1']-biphen-4-yl)aminocarbonyl]isoxazole;
- 3-(3-amidinophenyl)-4-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]-5-(trifluoromethyl)isoxazole;
- 2-acetylamino-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;
- 2-amino-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;
- 2-methyl-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;
- 5-(3-amidinophenyl)-4-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]oxazole;
- 3-(3-amidinophenyl)-4-[(2'-t-butylaminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]isoxazole;
- 3-(3-amidinophenyl)-4-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]-5-(methoxymethyl)-isoxazole;
- 3-(3-amidinophenyl)-4-[(2'-t-butylaminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]isoxazole;
- 3-(3-amidinophenyl)-4-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]-5-(methoxymethyl)isoxazole;

2-methyl-4-(3-amidinophenyl)-5-[(2'-trifluoromethyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;

2-phenyl-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;

3-(3-amidinophenyl)-4-[(3-fluoro-2'-methylsulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]isoxazole;

3-(3-amidinophenyl)-4-[(2'-trifluoromethylthio-[1,1']-biphen-4-yl)aminocarbonyl]isoxazole;

3-(3-amidinophenyl)-5-amino-4-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]isoxazole;

2-(phenylamino)-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;

2-(benzylamino)-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;

2-(methylamino)-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;

2-(methylamino)-4-(3-carboxamidophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;

2-methyl-4-(3-amidinophenyl)-5-[[5-(2'-aminosulfonylphenyl-1-yl)pyridin-2-yl]aminocarbonyl]thiazole;

2-methyl-4-(3-(carboxamido)phenyl)-5-[[5-(2'-aminosulfonylphenyl-1-yl)pyridin-2-yl]aminocarbonyl]thiazole;

2-(3-pyridyl)-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;

2-(3-pyridyl)-4-(3-carboxamidophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;

2-chloro-4-(3-amidinophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;

2-chloro-4-(3-carboxamidophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;

2-chloro-4-(3-amidinophenyl)-5-[[5-(2'-aminosulfonylphenyl-1-yl)pyridin-2-yl]aminocarbonyl]thiazole;

2-chloro-4-(3-(carboxamido)phenyl)-5-[[5-(2'-aminosulfonylphenyl-1-yl)pyridin-2-yl]aminocarbonyl]thiazole;

2-hydroxy-4-(3-amidinophenyl)-5-[[5-(2'-aminosulfonylphenyl-1-yl)pyridin-2-yl]aminocarbonyl]thiazole;

2-chloro-4-(3-aminophenyl)-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;

2-amino-4-[(3-amino-4-chloro)phenyl]-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;

2-chloro-4-[(3-amino-4-chloro)phenyl]-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole; and,

2-amino-4-[(3-aminomethyl)phenyl]-5-[(2'-aminosulfonyl-[1,1']-biphen-4-yl)aminocarbonyl]thiazole;

and a pharmaceutically acceptable salt thereof.

20. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 1 or a pharmaceutically acceptable salt thereof.

21. A method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound according to Claim 1 or a pharmaceutically acceptable salt thereof.